

【0093】

TLC Rf 0.33 (酢酸エチル:ヘキサン=1:1);

NMR (DMSO-d₆) : δ 10.94 (s, 1H), 8.67 (s, 1H), 8.25 (bs, 1H), 7.97 (s, 2H), 7.65 (bs, 1H), 7.25 (t, J = 7.8 Hz, 1H), 6.76-6.64 (m, 3H), 3.69 (s, 3H), 1.35-1.20 (m, 1H), 0.72-0.63 (m, 2H), 0.52-0.43 (m, 2H).

【0094】

実施例 1(9)

1-メチル-3-シクロプロピル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 29】

【0093】

TLC Rf 0.33 (ethylacetate : hexane =1:1);

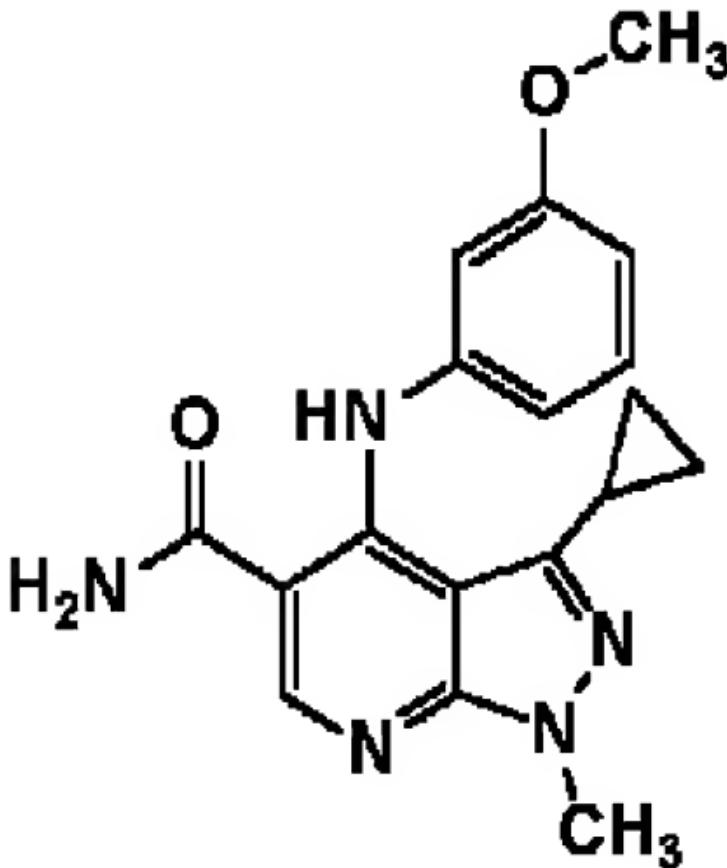
nmr (DMSO-d_{-d}-6_{-d}>);de 10.94 (s, 1H), 8.67 (s, 1H), 8.25 (bs, 1H), 7.97 (s, 2H), 7.65 (bs, 1H), 7.25 (t, J=7.8Hz, 1H), 6.76 - 6.64 (m, 3H), 3.69 (s, 3H), 1.35 - 1.20 (m, 1H), 0.72 - 0.63 (m, 2H), 0.52 - 0.43(m, 2H).

【0094】

Working Example 1 (9)

1-methyl-3-cyclopropyl-4-(3-methoxyphenylamino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 29]



[0095]

TLC Rf 0.33 (酢酸エチル);

NMR (DMSO- d_6) : δ 11.00 (s, 1H), 8.74 (s, 1H), 8.22 (bs, 1H), 7.57 (bs, 1H), 7.19 (t, $J = 7.8$ Hz, 1H), 6.69-6.60 (m, 3H), 3.87 (s, 3H), 3.68 (s, 3H), 1.26-1.14 (m, 1H), 0.70-0.60 (m, 2H), 0.44-0.34 (m, 2H).

[0095]

TLC:Rf 0.33 (ethylacetate);

nmr (DMSO- d_6): δ 11.00 (s, 1H), 8.74 (s, 1H), 8.22 (bs, 1H), 7.57 (bs, 1H), 7.19 (t, $J = 7.8$ Hz, 1H), 6.69-6.60 (m, 3H), 3.87 (s, 3H), 3.68 (s, 3H), 1.26-1.14 (m, 1H), 0.70-0.60 (m, 2H), 0.44-0.34 (m, 2H).

【0096】

実施例 1(10)

1-メチル-3-(チオフェン-2-イル)-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

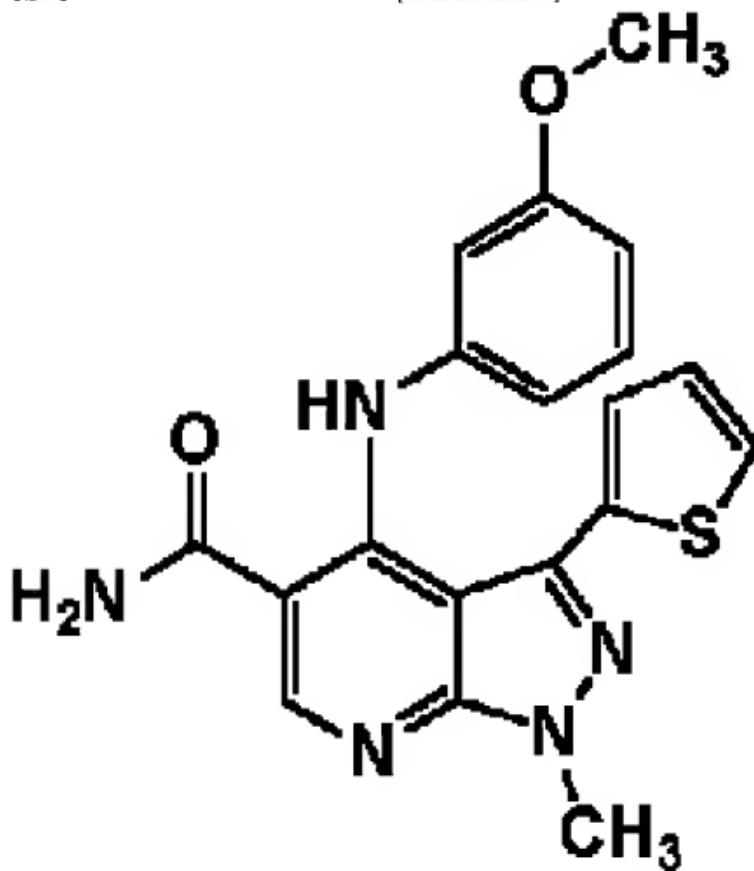
【化 30】

【0096】

Working Example 1 (10)

1-methyl-3-(thiophene-2-yl)-4-(3-methoxyphenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 30]



【0097】

TLC Rf 0.36 (酢酸エチル);

NMR (DMSO-d₆) : δ 11.12 (1H), 8.84 (s, 1H), 8.29 (bs, 1H), 7.66 (bs, 1H), 7.25 (dd, J = 5.1, 0.9 Hz, 1H), 6.92 (dd, J = 3.6, 0.9 Hz, 1H), 6.81 (t, J = 7.5 Hz, 1H), 6.66 (dd, J = 5.1, 3.6 Hz, 1H), 6.37-6.24 (m, 3H), 4.04 (s, 3H), 3.55 (s, 3H).

【0098】

実施例 1(1)

1-メチル-3-(4-クロロフェニル)-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 31】

[0097]

TLC Rf 0.36 (ethylacetate);

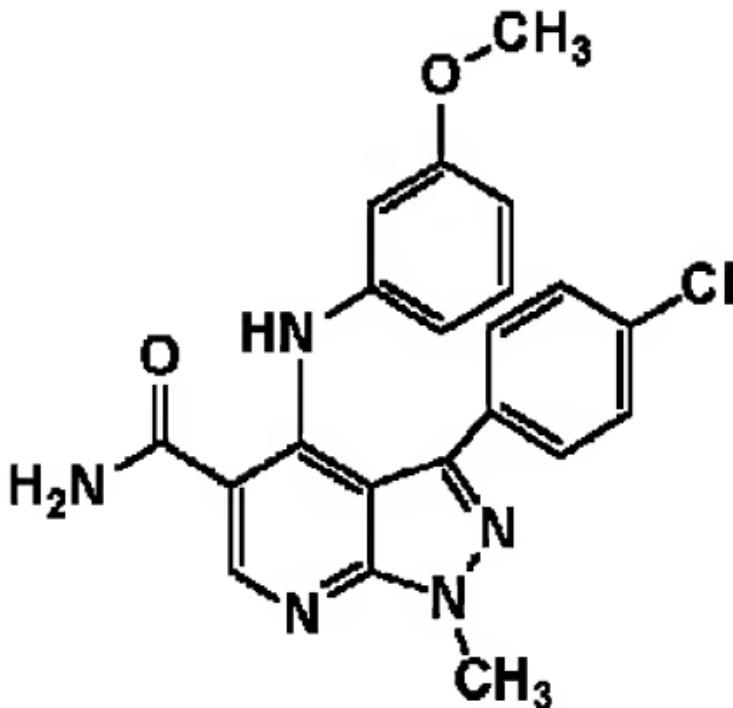
nmr (DMSO -d_{-d}>-6_{-d}>);δ 11.12 (1H), 8.84 (s, 1H), 8.29 (bs, 1H), 7.66 (bs, 1H), 7.25 (dd, J=5.1, 0.9Hz, 1H), 6.92 (dd, J=3.6, 0.9Hz, 1H), 6.81 (t, J=7.5Hz, 1H), 6.66 (dd, J=5.1, 3.6Hz, 1H), 6.37 - 6.24 (m, 3H), 4.04 (s, 3H), 3.55 (s, 3H).

[0098]

Working Example 1 (1)

1-methyl-3-(4-chlorophenyl)-4-(3-methoxyphenyl amino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 31]



【0099】

TLC-Rf 0.35 (酢酸エチル);

NMR ($\text{DMSO}-\text{d}_6$) : δ 11.22 (s, 1H), 8.85 (s, 1H), 8.30 (bs, 1H), 7.65 (bs, 1H), 7.26 (d, $J = 8.4$ Hz, 2H), 7.10 (d, $J = 8.4$ Hz, 2H), 6.80-6.70 (m, 1H), 6.28-6.20 (m, 3H), 4.04 (s, 3H), 3.54 (s, 3H).

【0100】

実施例 1(12)

1-フェニル-3-メチル-4-(3-メトキシフェニルアミノ)
ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 32】

【0099】

TLC-Rf 0.35 (ethylacetate);

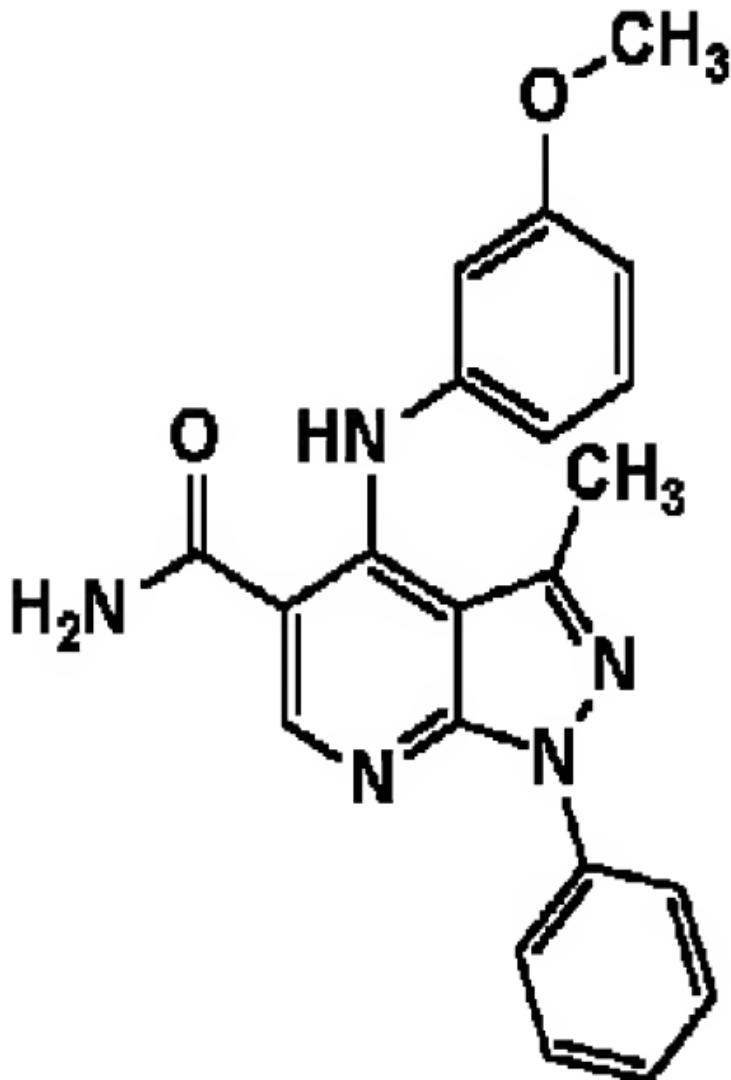
nmr ($\text{DMSO}-\text{d}_6$) : δ 11.22 (s, 1H), 8.85 (s, 1H), 8.30 (bs, 1H), 7.65 (bs, 1H), 7.26 (d, $J = 8.4$ Hz, 2H), 7.10 (d, $J = 8.4$ Hz, 2H), 6.80-6.70 (m, 1H), 6.28-6.20 (m, 3H), 4.04 (s, 3H), 3.54 (s, 3H).

【0100】

Working Example 1 (12)

1-phenyl-3-methyl-4-(3-methoxyphenylamino)pyrazolo[5,4-b]pyridine-5-carboxamide

[Chemical Formula 32]



【0101】

TLC Rf 0.43 (クロロホルム:メタノール=9:1);
 NMR (CDCl_3) : δ 10.56 (s, 1H), 8.60 (s, 1H), 8.12-8.09 (m, 2H), 7.53-7.48 (m, 2H), 7.26-7.18 (m, 2H), 6.78-6.69 (m, 3H), 5.90-5.70 (brs, 2H), 3.77 (s, 3H), 1.77 (s, 3H)。

【0102】

実施例 1(13)

1-メチル-3-t-ブチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 33】

【0101】

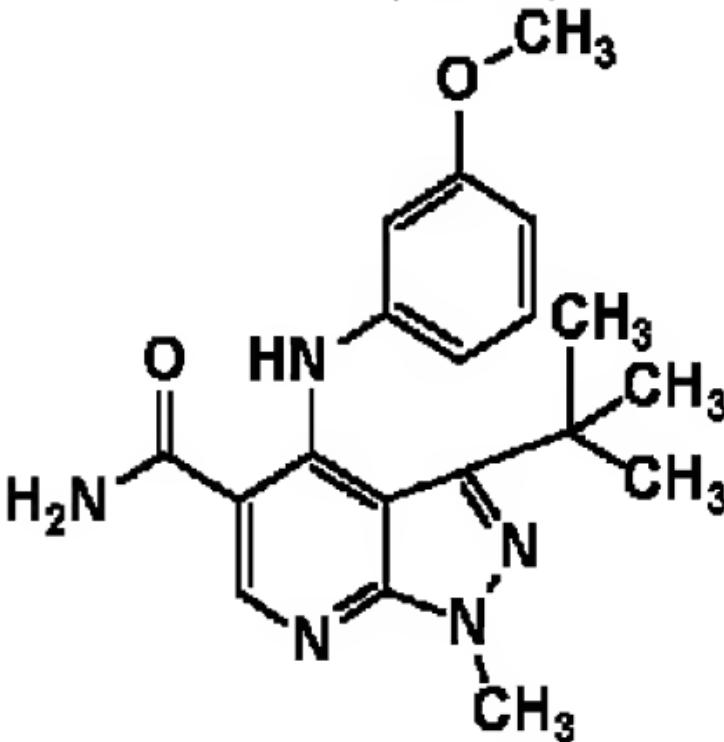
TLC Rf 0.43 (chloroform : methanol = 9 : 1);
 nmr (CDCl_3): de 10.56 (s, 1H), 8.60 (s, 1H), 8.12 - 8.09 (m, 2H), 7.53 - 7.48 (m, 2H), 7.26 - 7.18 (m, 2H), 6.78 - 6.69 (m, 3H), 5.90 - 5.70 (brs, 2H), 3.77 (s, 3H), 1.77 (s, 3H)。

【0102】

Working Example 1 (13)

1-methyl-3-t-butyl-4-(3-methoxyphenyl amino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 33]



【0103】

TLC Rf 0.30 (酢酸エチル);

NMR (DMSO-d₆) : δ 8.62 (s, 1H), 8.14 (s, 1H), 7.73 (bs, 1H), 7.39 (bs, 1H), 7.03 (t, J = 8.4 Hz, 1H), 6.43-6.35 (m, 1H), 6.27-6.20 (m, 2H), 3.99 (s, 3H), 3.64 (s, 3H), 1.33 (s, 9H).

【0104】

実施例 1(14)

1-フェニル-3-シクロプロピル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 34】

[0103]

TLC Rf 0.30 (ethylacetate);

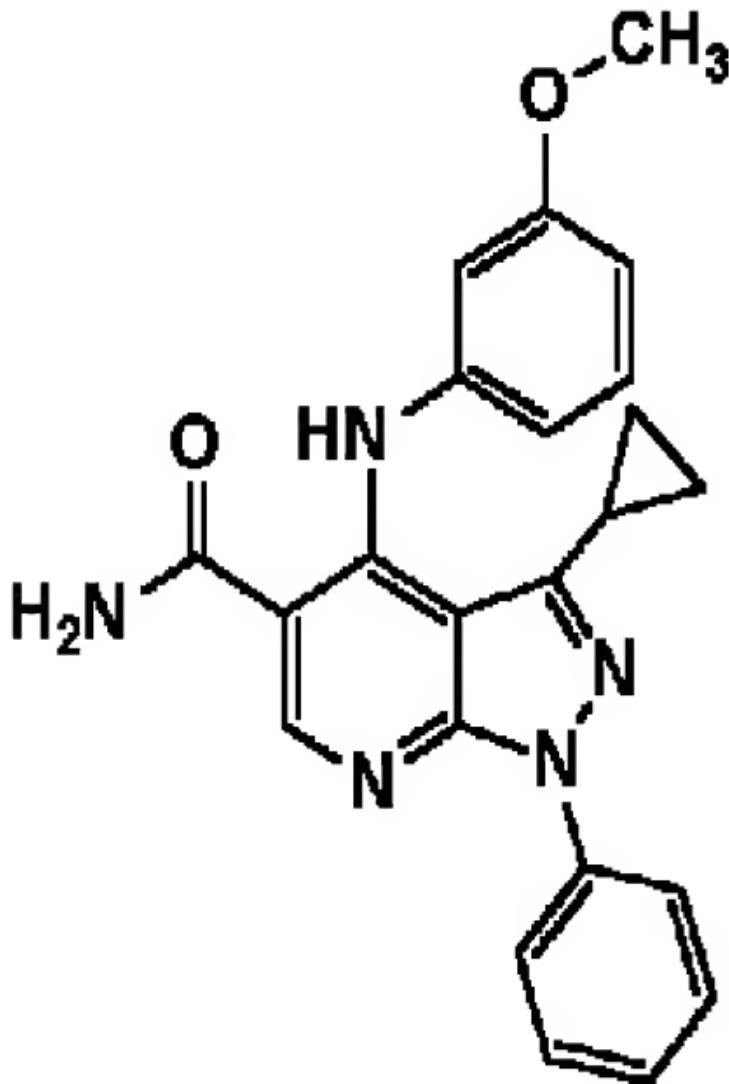
nmr (DMSO-d₆):δ 8.62 (s, 1H), 8.14 (s, 1H), 7.73 (bs, 1H), 7.39 (bs, 1H), 7.03 (t, J=8.4Hz, 1H), 6.43-6.35(m, 1H), 6.27-6.20(m, 2H), 3.99 (s, 3H), 3.64 (s, 3H), 1.33 (s, 9H).

[0104]

Working Example 1 (14)

1-phenyl-3- cyclopropyl -4-(3 -methoxyphenyl amino)pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 34]



【0105】

TLC Rf 0.44 (クロロホルム:メタノール=9:1);
 NMR (CDCl_3) : δ 10.50 (s, 1H), 8.61 (s, 1H), 8.12 (t, J = 7.5 Hz, 2H), 7.49 (t, J = 8.1 Hz, 2H), 7.31-7.17 (m, 2H), 6.78-6.62 (m, 3H), 6.00-5.60 (brs, 2H), 1.37-1.25 (m, 1H), 0.90-0.81 (m, 2H), 0.53-0.48 (m, 2H).

【0106】

実施例 1(15)

1-メチル-3-フェニル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 35】

[0105]

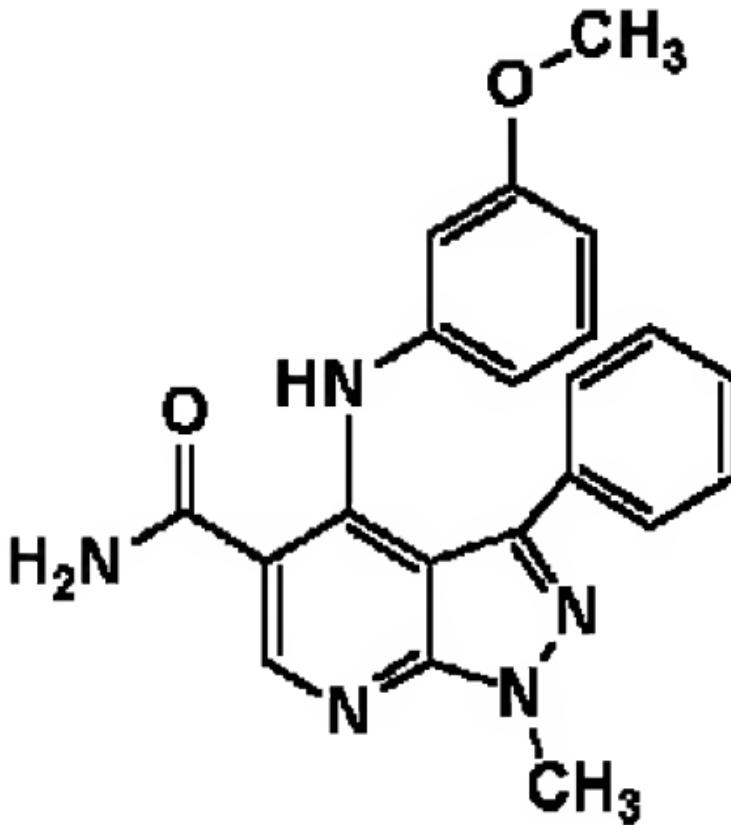
TLC Rf 0.44 (chloroform:methanol=9:1);
 nmr ($\text{CDCl}_3\text{-}3\text{-}$);de 10.50 (s, 1H), 8.61 (s, 1H), 8.12 (t, J=7.5Hz, 2H), 7.49 (t, J=8.1Hz, 2H), 7.31 - 7.17 (m, 2H), 6.78-6.62 (m, 3H), 6.00 - 5.60 (brs, 2H), 1.37 - 1.25 (m, 1H), 0.90 - 0.81 (m, 2H), 0.53 - 0.48(m, 2H)

[0106]

Working Example 1 (15)

1-methyl-3-phenyl-4-(3-methoxyphenylamino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 35]



【0107】

TLC.Rf 0.46 (クロロホルム・メタノール-9:1);
 NMR (CDCl_3) : δ 10.47 (s, 1H), 8.64 (s, 1H), 7.35-7.31 (m, 2H), 7.11-7.06 (m, 3H), 6.75 (t, J = 8.1 Hz, 1H), 6.37-6.32 (m, 1H), 6.26-6.19 (m, 2H), 5.90-5.75 (brs, 2H), 4.14 (s, 3H), 3.59 (s, 3H).

【0108】

【0107】

TLC.Rf 0.46 (chloroform:methanol -9:1);
 nmr (CDCl_3): δ 10.47 (s, 1H), 8.64 (s, 1H), 7.35 - 7.31 (m, 2H), 7.11 - 7.06 (m, 3H), 6.75 (t, J=8.1Hz, 1H), 6.37 - 6.32 (m, 1H), 6.26 - 6.19 (m, 2H), 5.90 - 5.75 (brs, 2H), 4.14 (s, 3H), 3.59 (s, 3H)

【0108】

実施例 1(16)

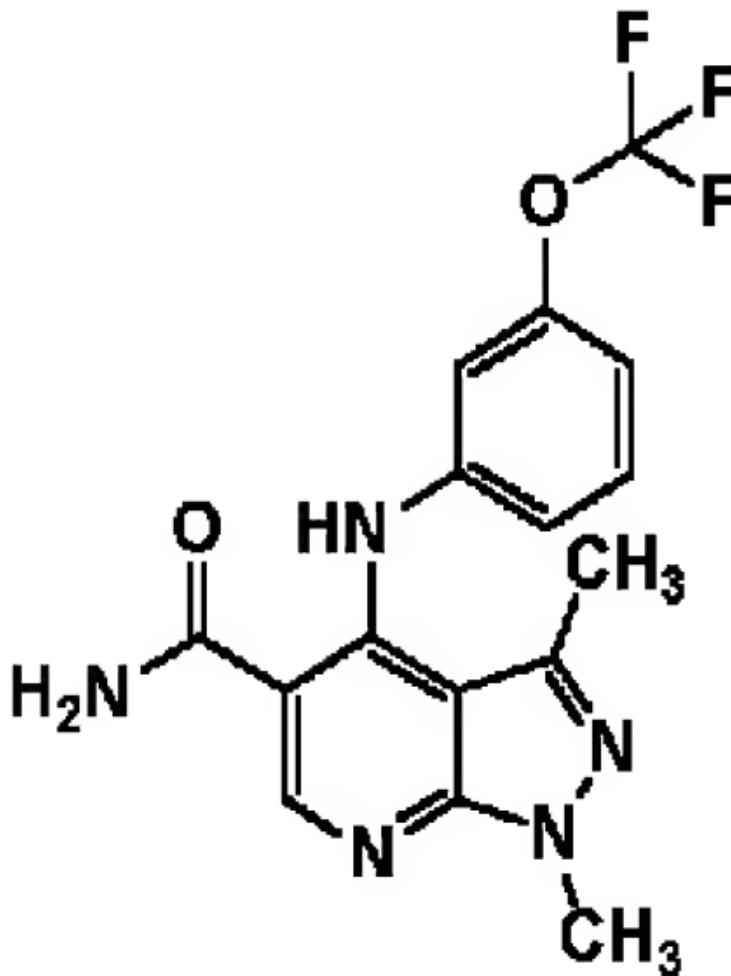
1,3-ジメチル-4-(3-トリフロオロメトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 36】

Working Example 1 (16)

1 and 3 -dimethyl -4- (3 -tri fluoro methoxyphenyl amino)
pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 36]



【0109】

TLC:Rf 0.30 (酢酸エチル);

[0109]

TLC:Rf 0.30 (ethylacetate);

NMR (DMSO-d₆) : δ 10.97 (s, 1H), 8.78 (s, 1H), 8.26 (bs, 1H), 7.62(bs, 1H), 7.48-7.37 (m, 1H), 7.16-7.05 (m, 3H), 3.92 (s, 3H), 1.71 (s, 3H).

【0110】

実施例 1(17)

1,3-ジメチル-4-(3-トリフロオロメチルチオフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 37】

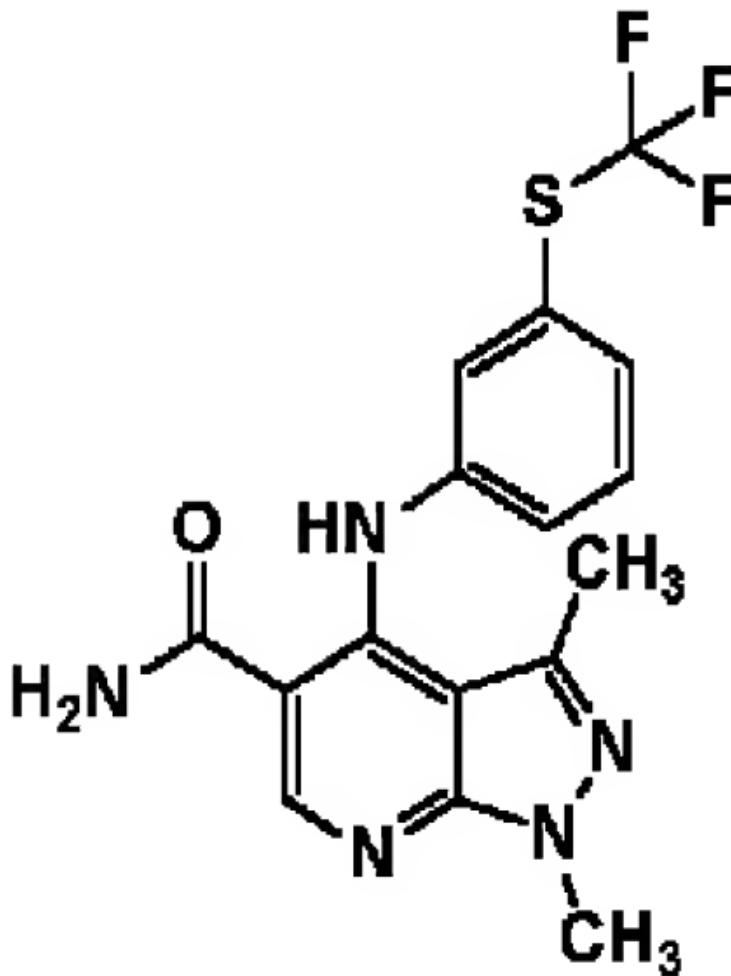
nmr (DMSO-d₆) ;δ 10.97 (s, 1H), 8.78 (s, 1H), 8.26 (bs, 1H), 7.62 (bs, 1H), 7.48 - 7.37 (m, 1H), 7.16-7.05 (m, 3H), 3.92 (s, 3H), 1.71 (s, 3H).

【0110】

Working Example 1 (17)

1 and 3 -dimethyl -4- (3 -trifluoromethyl thiophenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 37]



【0111】

TLC:Rf 0.30 (酢酸エチル);

[0111]

TLC:Rf 0.30 (ethylacetate);

NMR (DMSO-d₆) : δ 11.04 (s, 1H), 8.79 (s, 1H), 8.29 (bs, 1H), 7.63(bs, 1H), 7.54-7.3
2 (m, 4H), 3.92 (s, 3H), 1.66 (s, 3H).

[0112]

実施例 1(18)

1,3-ジメチル-4-(3-エトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 38】

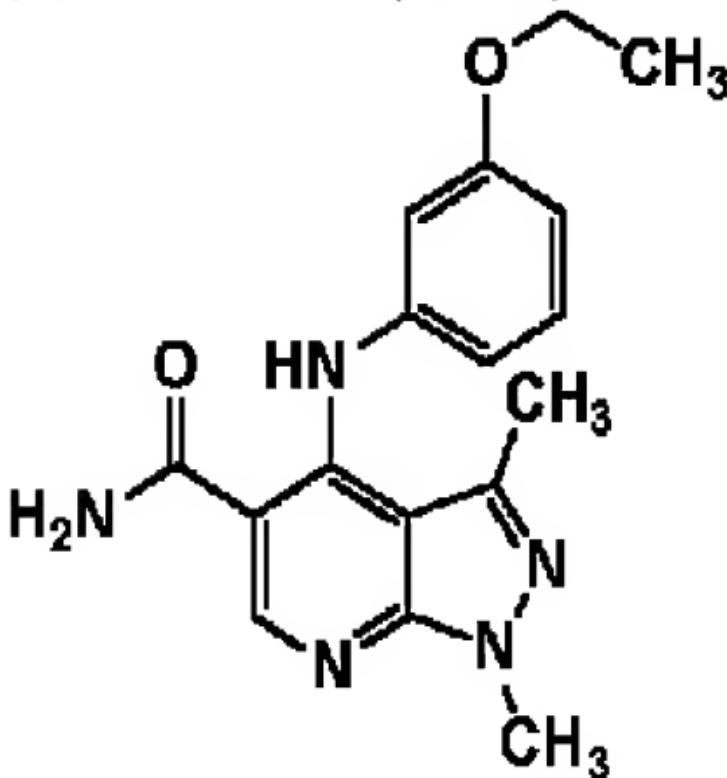
nmr (DMSO-d₆-6₂);δ 11.04 (s, 1H), 8.79 (s, 1H), 8.29 (bs, 1H), 7.63 (bs, 1H), 7.54 - 7.32 (m, 4H), 3.92(s, 3H), 1.66 (s, 3H).

[0112]

Working Example 1 (18)

1 and 3-dimethyl-4-(3-ethoxy phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 38]



[0113]

[0113]

TLC Rf 0.36 (クロロホルム:メタノール=9:1);

NMR (CDCl_3) : δ 10.58 (s, 1H), 8.52 (s, 1H), 7.21-7.15 (m, 1H), 6.72-6.65 (m, 3H), 5.85-5.60 (brs, 2H), 3.99 (s, 3H), 3.97 (q, $J = 6.9 \text{ Hz}$, 2H), 1.77 (s, 3H), 1.37 (t, $J = 6.9 \text{ Hz}$, 3H).

【0114】

実施例 1(19)

1,3-ジメチル-4-(3-イソプロピルオキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 39】

TLC Rf 0.36 (chloroform:methanol=9:1);

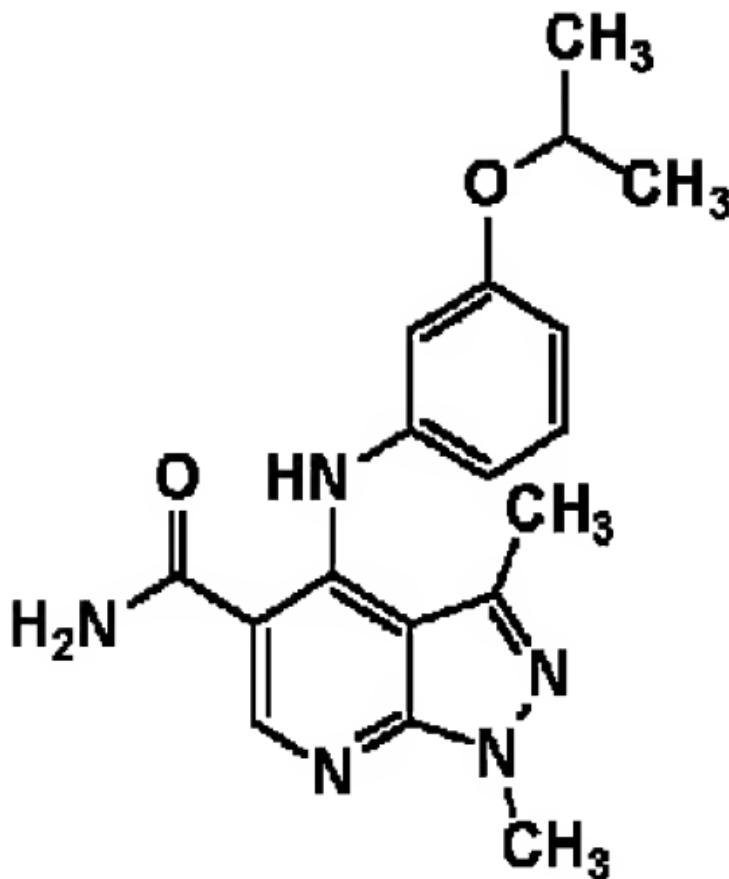
¹H NMR (CDCl_3): δ 10.58 (s, 1H), 8.52 (s, 1H), 7.21 - 7.15 (m, 1H), 6.72 - 6.65 (m, 3H), 5.85 - 5.60 (brs, 2H), 3.99 (s, 3H), 3.97 (q, $J=6.9 \text{ Hz}$, 2H), 1.77 (s, 3H), 1.37 (t, $J=6.9 \text{ Hz}$, 3H).

[0114]

Working Example 1 (19)

1 and 3-dimethyl-4-(3-isopropyl oxy phenylamino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 39]



[0115]

TLC Rf 0.41 (クロロホルム:メタノール=9:1);

NMR (CDCl₃) : δ 10.58 (s, 1H), 8.52 (s, 1H), 7.20-7.13 (m, 1H), 6.72-6.65 (m, 3H), 5.85-5.60 (brs, 2H), 4.48 (sept, J = 6.0 Hz, 1H), 3.99 (s, 3H), 1.77 (s, 3H), 1.29 (d, J = 6.0 Hz, 6H).

[0115]

TLC Rf 0.41 (chloroform:methanol = 9:1);

nmr (CDCl₃): δ 10.58 (s, 1H), 8.52 (s, 1H), 7.20 - 7.13 (m, 1H), 6.72 - 6.65 (m, 3H), 5.85 - 5.60 (brs, 2H), 4.48 (sept, J=6.0Hz, 1H), 3.99 (s, 3H), 1.77 (s, 3H), 1.29 (d, J=6.0Hz, 6H).

【0116】

実施例 1(20)

1,3-ジメチル-4-(3-フェニルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

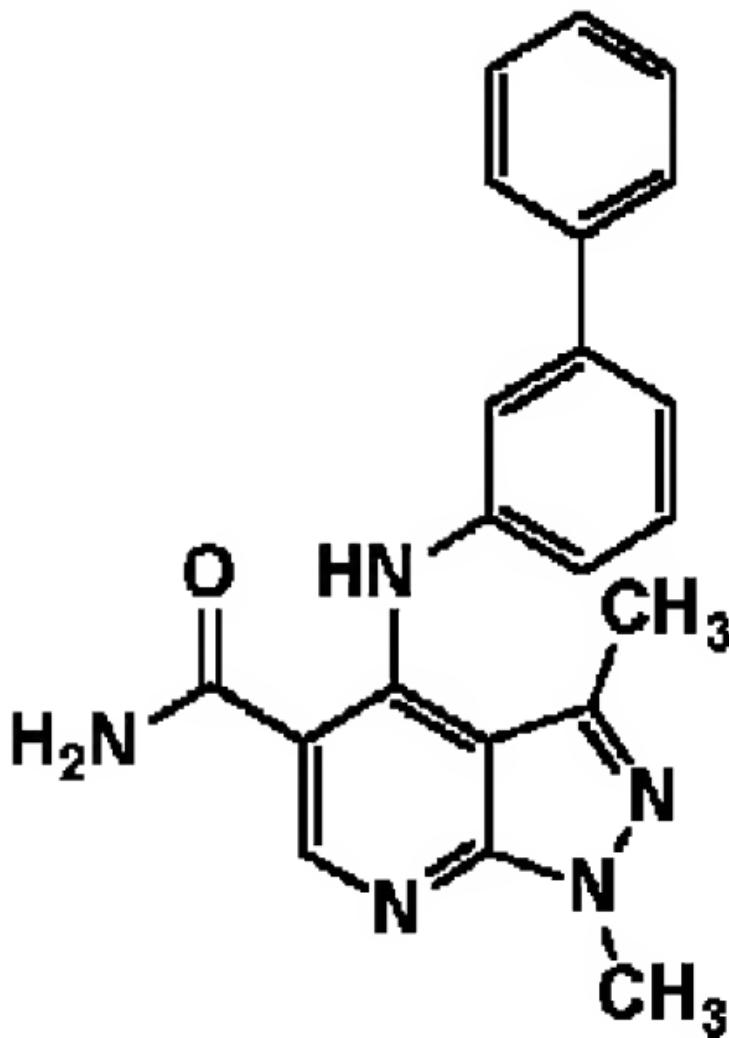
【化 40】

[0116]

Working Example 1 (20)

1 and 3 -dimethyl -4- (3 -phenyl phenylamino) pyrazolo [5
and 4 -b] pyridine -5-carboxamide

[Chemical Formula 40]



【0117】

TLC Rf 0.32 (クロロホルム:メタノール=9:1);
 NMR (DMSO-d₆) : δ 11.10 (s, 1H), 8.75 (s, 1H), 8.30-8.10 (brs, 1H), 7.60-7.57 (m, 3H), 7.45-7.34 (m, 6H), 7.13-7.04 (m, 1H), 3.88 (s, 3H), 1.66 (s, 3H)。

【0118】

実施例 1(21)

1,3-ジメチル-4-(3-ベンジルオキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 41】

[0117]

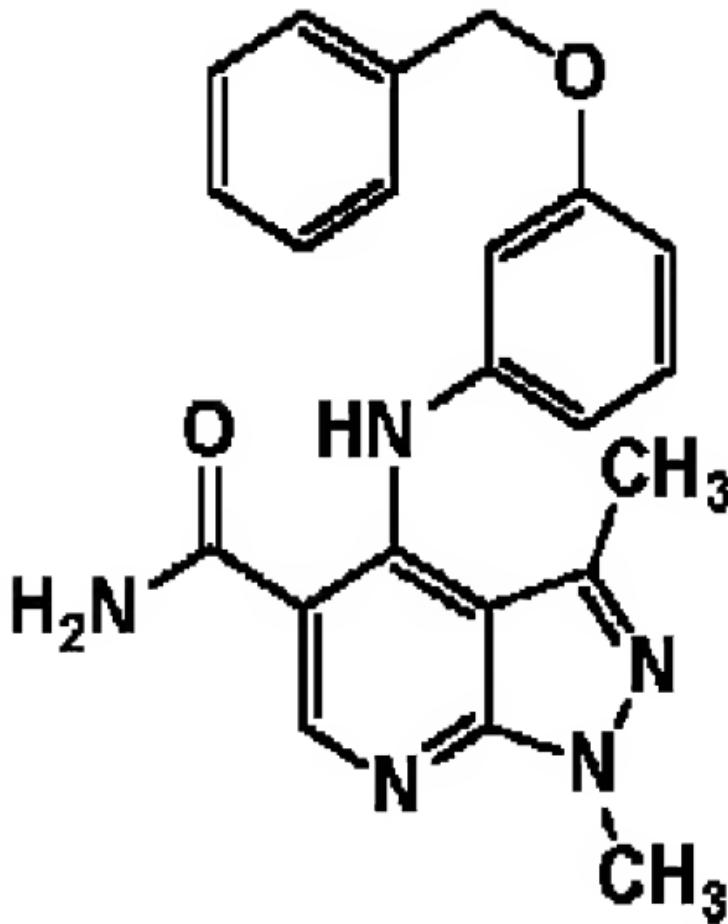
TLC Rf 0.32 (chloroform:methanol=9:1);
 nmr (DMSO-d₆):δ 11.10 (s, 1H), 8.75 (s, 1H), 8.30-8.10 (brs, 1H), 7.60-7.57 (m, 3H), 7.45-7.34 (m, 6H), 7.13-7.04 (m, 1H), 3.88 (s, 3H), 1.66 (s, 3H)。

[0118]

Working Example 1 (21)

1 and 3 -dimethyl -4-(3 -benzyl oxy phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 41]



【0119】

TLC:Rf 0.28 (クロロホルム:メタノール=9:1);
 NMR (DMSO-d₆) : δ 10.94 (s, 1H), 8.72 (s, 1H), 8.23-8.10 (brs, 1H), 7.60-7.50 (brs, 1H), 7.40-7.29 (m, 5H), 7.19 (t, J = 8.7 Hz, 1H), 6.78 - 6.75 (m, 2H), 6.64

【0119】

TLC:Rf 0.28 (chloroform :methanol =9 : 1);
 nmr (DMSO-d₆):δ 10.94 (s, 1H), 8.72 (s, 1H), 8.23 - 8.10 (brs, 1H), 7.60 - 7.50 (brs, 1H), 7.40 -7.29 (m, 5H), 7.19 (t, J=8.7Hz, 1H), 6.78 - 6.75 (m, 2H), 6.64

1H), 6.78-6.75 (m, 2H), 6.64 (d, J = 8.7 Hz, 1H), 5.06 (s, 2H), 3.87 (s, 3H), 1.64 (s, 3H);

(d, J=8.7Hz, 1H), 5.06 (s, 2H), 3.87 (s, 3H), 1.64 (s, 3H).

【0120】

実施例 1(22)

1,3-ジメチル-4-(3-ニトロフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

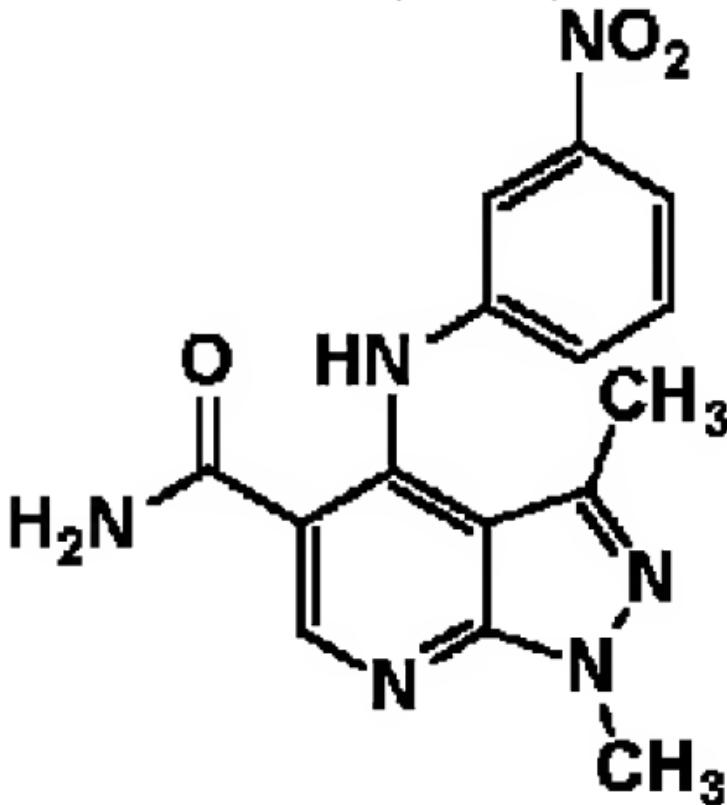
【化 42】

[0120]

Working Example 1 (22)

1 and 3 -dimethyl -4- (3 -nitrophenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 42]



【0121】

[0121]

TLC Rf 0.28 (クロロホルム・メタノール=9:1);

NMR (DMSO-d_6) : δ 10.81 (s, 1H), 8.77 (s, 1H), 8.24 (brs, 1H), 7.90-7.84 (m, 2H), 7.62 (brs, 1H), 7.58-7.46 (m, 2H), 3.93 (s, 3H), 1.80 (s, 3H).

[0122]

実施例 1(23)

1,3-ジメチル-4-(3-アセチルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

[化 43]

TLC Rf 0.28 (chloroform・methanol=9:1);

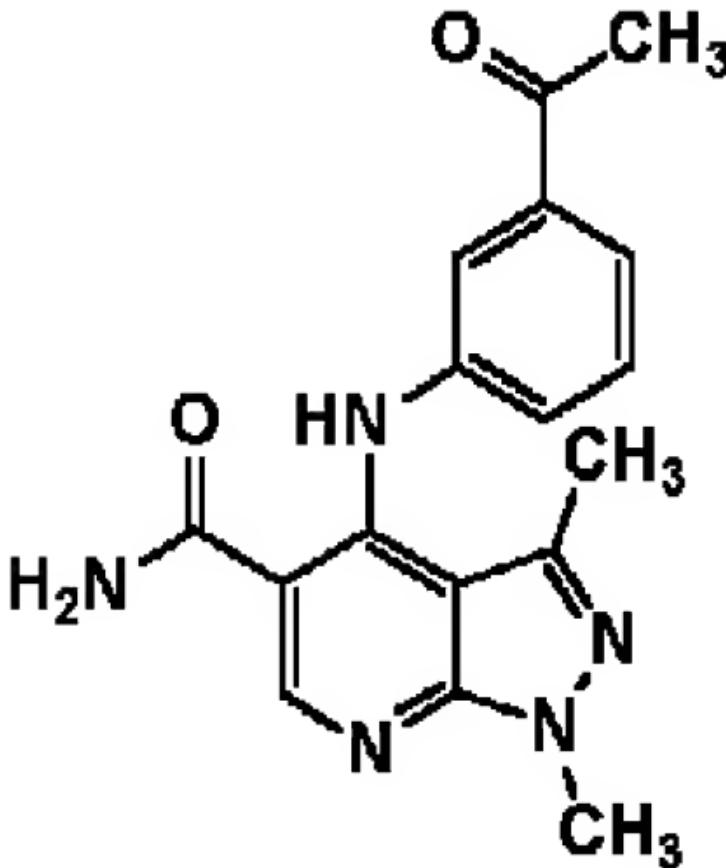
^{nmr} (DMSO-d_6): δ 10.81 (s, 1H), 8.77 (s, 1H), 8.24 (brs, 1H), 7.90-7.84 (m, 2H), 7.62 (brs, 1H), 7.58-7.46 (m, 2H), 3.93 (s, 3H), 1.80 (s, 3H).

[0122]

Working Example 1 (23)

1 and 3 -dimethyl -4-(3 -acetyl phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 43]



[0123]

TLC Rf 0.30 (酢酸エチル);

NMR (DMSO-d₆) : δ 11.00 (s, 1H), 8.77 (s, 1H), 8.24 (bs, 1H), 7.70 (d, J = 7.5 Hz, 1H), 7.63 (s, 1H), 7.59 (bs, 1H), 7.46 (t, J = 7.5 Hz, 1H), 7.35 (d, J = 7.5 Hz, 1H), 3.91 (s, 3H), 2.54 (s, 3H), 1.65 (s, 3H).

[0123]

TLC:Rf 0.30 (ethylacetate);

nmr (DMSO-d₆):δ 11.00 (s, 1H), 8.77 (s, 1H), 8.24 (bs, 1H), 7.70 (d, J=7.5Hz, 1H), 7.63 (s, 1H), 7.59 (bs, 1H), 7.46 (t, J=7.5Hz, 1H), 7.35 (d, J=7.5Hz, 1H), 3.91 (s, 3H), 2.54 (s, 3H), 1.65 (s, 3H).

【0124】

実施例 1(24)

1,3-ジメチル-4-(3-ベンゾイルフェニルアミノ)ピラ
ゾロ[5,4-b]ピリジン-5-カルボキサミド

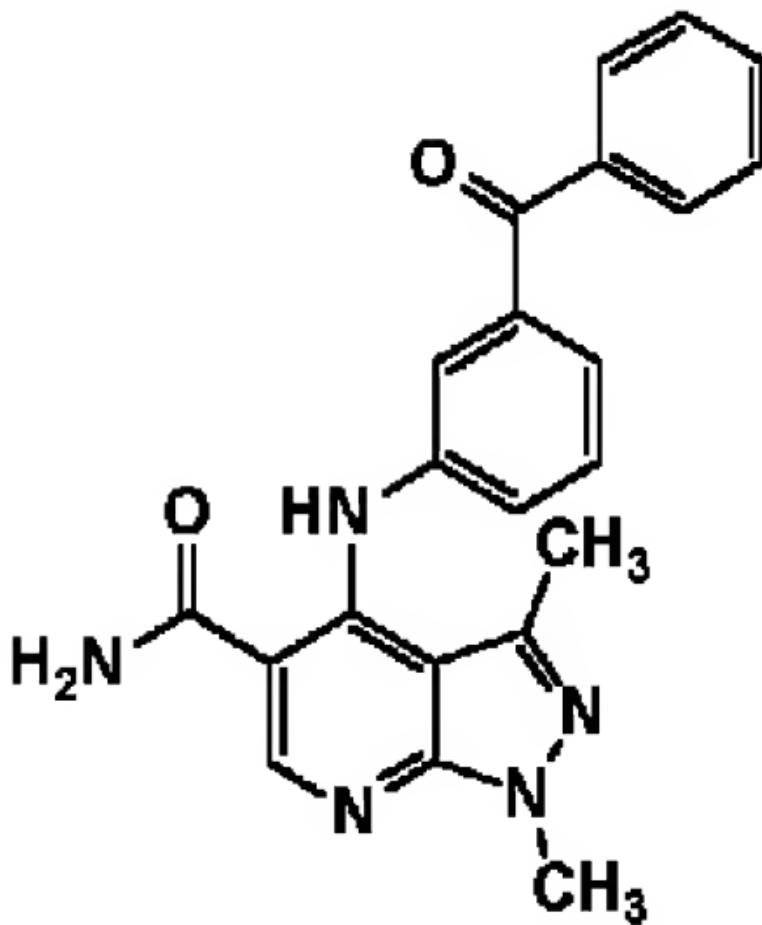
【化 44】

[0124]

Working Example 1 (24)

1 and 3 -dimethyl -4- (3 -benzoyl phenylamino) pyrazolo [5
and 4 -b] pyridine -5-carboxamide

[Chemical Formula 44]



【0125】

TLC:Rf 0.30 (酢酸エチル);

NMR (DMSO- d_6) : δ 10.94 (s, 1H), 8.75 (s, 1H), 8.22 (bs, 1H), 7.70-7.62 (m, 3H), 7.59 (bs, 1H), 7.54-7.40 (m, 5H), 7.36-7.31 (m,

[0125]

TLC:Rf 0.30 (ethylacetate);

nmr (DMSO- d_6): δ 10.94 (s, 1H), 8.75 (s, 1H), 8.22 (bs, 1H), 7.70 - 7.62 (m, 3H), 7.59 (bs, 1H), 7.54-7.40 (m, 5H), 7.36 - 7.31 (m, 1H), 3.93 (s, 3H), 1.76 (s,

1H), 3.93(s, 3H), 1.76 (s, 3H),

【0126】

実施例 1(25)

1,3-ジメチル-4-(3-メチルチオフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 45】

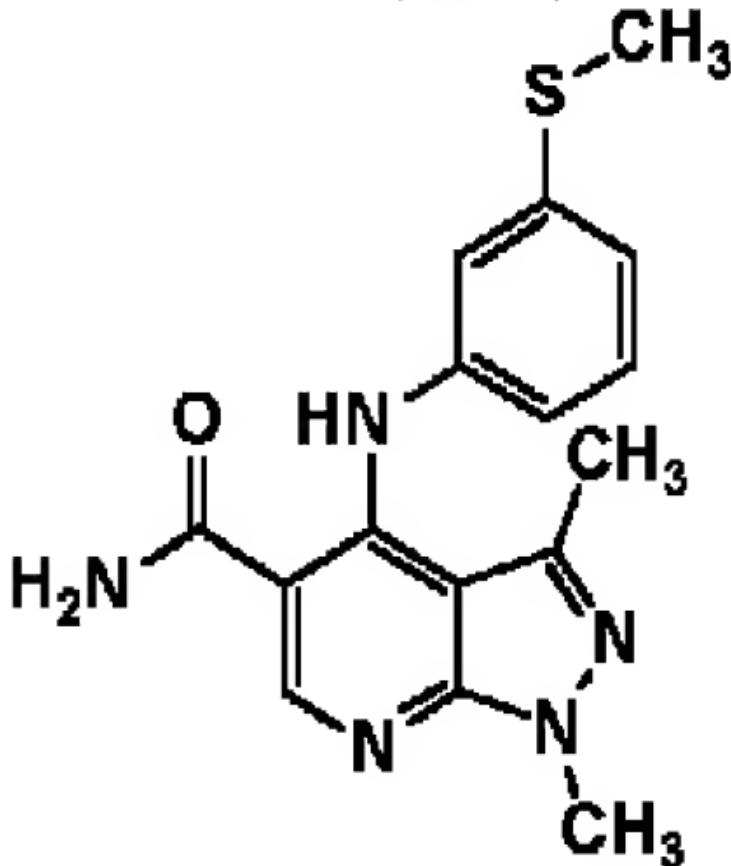
3H).

【0126】

Working Example 1 (25)

1 and 3-dimethyl-4-(3-methylthio phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 45]



【0127】

TLC Rf 0.30 (酢酸エチル);

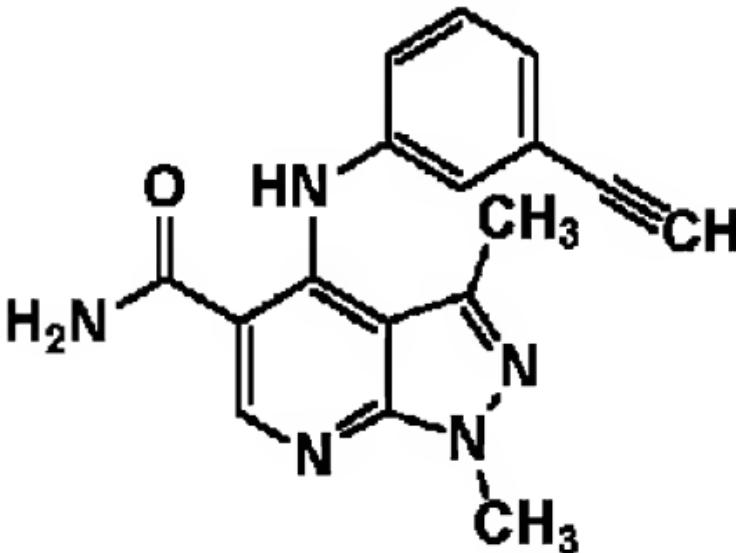
NMR (DMSO-d₆) : δ 10.98 (s, 1H), 8.74 (s, 1H), 8.22 (bs, 1H), 7.56 (bs, 1H), 7.23 (t, J = 8.1 Hz, 1H), 7.01 (s, 1H), 7.00 (d, J = 8.1 Hz, 1H), 6.84 (d, J = 8.1 Hz, 1H), 3.89 (s, 3H), 2.42 (s, 3H), 1.69 (s, 3H).

【0128】

実施例 1(26)

1,3-ジメチル-4-(3-エチニルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 46】



【0129】

TLC Rf 0.22 (クロロホルム:メタノール=9:1);

NMR (DMSO-d₆) : δ 10.91 (s, 1H), 8.75 (s, 1H), 8.25 (s, 1H), 7.60 (brs, 1H), 7.10-7.35 (m, 4H), 4.17 (s, 1H), 3.89 (s, 3H), 1.67 (s, 3H).

【0130】

【0127】

TLC Rf 0.30 (ethylacetate);

nmr (DMSO-d₆);de 10.98 (s, 1H), 8.74 (s, 1H), 8.22 (bs, 1H), 7.56 (bs, 1H), 7.23 (t, J=8.1Hz, 1H), 7.01 (s, 1H), 7.00 (d, J=8.1Hz, 1H), 6.84 (d, J=8.1Hz, 1H), 3.89 (s, 3H), 2.42 (s, 3H), 1.69 (s, 3H).

【0128】

Working Example 1 (26)

1 and 3 -dimethyl-4-(3 -ethynyl phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 46]

【0129】

【0129】

TLC:Rf 0.22 (chloroform:methanol=9:1);

nmr (DMSO-d₆);de 10.91 (s, 1H), 8.75 (s, 1H), 8.25 (s, 1H), 7.60 (brs, 1H), 7.10 - 7.35 (m, 4H), 4.17 (s, 1H), 3.89 (s, 3H), 1.67 (s, 3H).

【0130】

実施例 1(27)

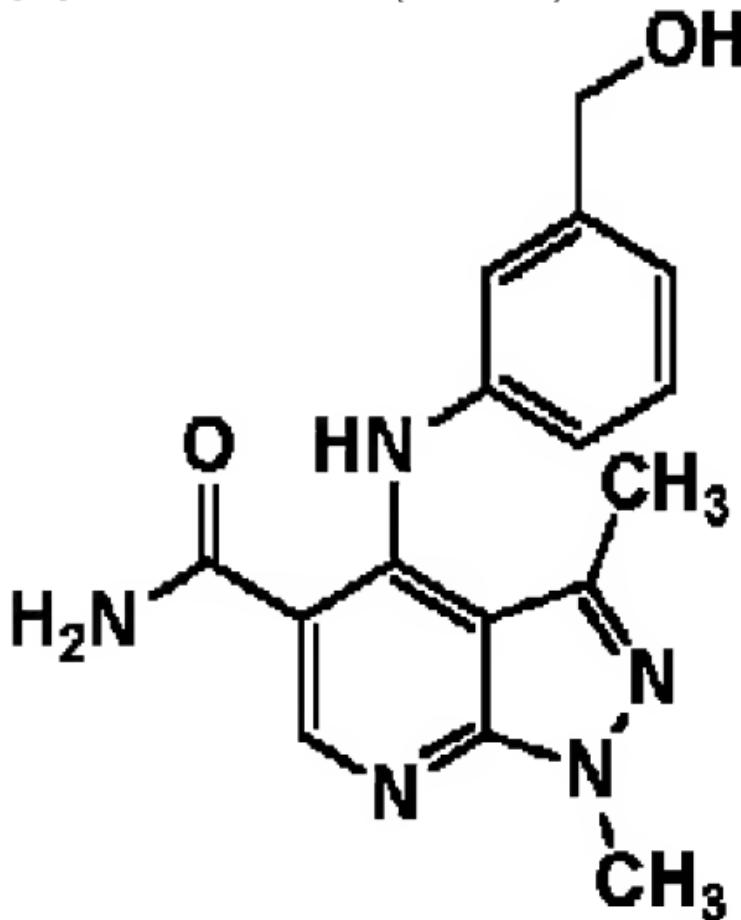
1,3-ジメチル-4-(3-ヒドロキシメチルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 47】

Working Example 1 (27)

1 and 3-dimethyl-4-(3-hydroxymethyl phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 47]



【0131】

TLC Rf 0.65 (クロロホルム:メタノール=9:1);

NMR (DMSO-d₆) : δ 11.05 (s, 1H), 8.73 (s, 1H), 8.20 (br, 1H), 7.54(br, 1H), 7.26 (d d, J = 8.0, 8.0 Hz, 1H), 7.08-7.03 (m, 2H), 6.96 (d, J= 8.0 Hz, 1H), 5.16 (t, J = 6.2 Hz, 1H), 4.42 (d, J = 6.2 Hz, 2H), 3.87(s, 3H), 1.61 (s, 3H).

【0132】

実施例 1(28)

1,3-ジメチル-4-(3-アセチルアミノフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 48】

【0131】

TLC Rf 0.65 (chloroform:methanol=9:1);

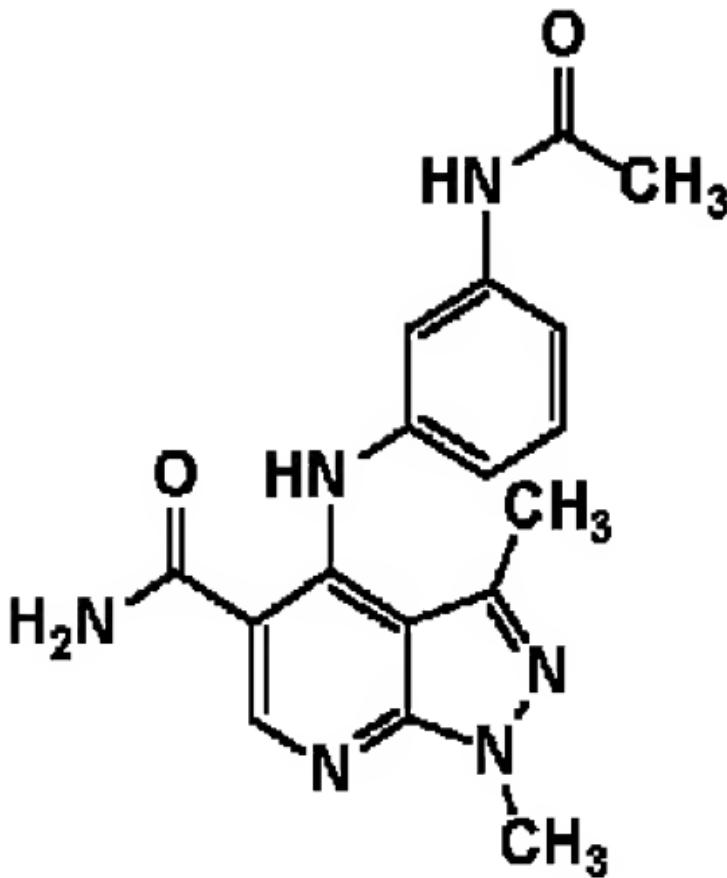
nmr (DMSO-d₆):δ 11.05 (s, 1H), 8.73 (s, 1H), 8.20 (br, 1H), 7.54 (br, 1H), 7.26 (dd, J=8.0, 8.0Hz, 1H), 7.08-7.03(m, 2H), 6.96 (d, J=8.0Hz, 1H), 5.16 (t, J=6.2Hz, 1H), 4.42 (d, J=6.2Hz, 2H), 3.87(s, 3H), 1.61 (s, 3H).

【0132】

Working Example 1 (28)

1 and 3 -dimethyl -4- (3 -acetyl amino phenylamino) pyrazole
[5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 48]



[0133]

TLC Rf 0.20 (クロロホルム:メタノール=9:1);

NMR (DMSO-d₆) : δ 10.99 (s, 1H), 9.88 (s, 1H), 8.73 (s, 1H), 8.22 (brs, 1H), 7.57 (brs, 1H), 7.35-7.32 (m, 2H), 7.22 (t, J = 8.1 Hz, 1H), 6.78 (d, J = 8.1 Hz, 1H), 3.87 (s, 3H), 1.97 (s, 3H), 1.66 (s, 3H).

[0133]

TLC Rf 0.20 (chloroform:methanol = 9:1);

nmr (DMSO-d₆): δ 10.99 (s, 1H), 9.88 (s, 1H), 8.73 (s, 1H), 8.22 (brs, 1H), 7.57 (brs, 1H), 7.35 - 7.32 (m, 2H), 7.22 (t, J = 8.1 Hz, 1H), 6.78 (d, J = 8.1 Hz, 1H), 3.87 (s, 3H), 1.97 (s, 3H), 1.66 (s, 3H).

【0134】

実施例 1(29)

1,3-ジメチル-4-(3-ブチルスルファモイルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

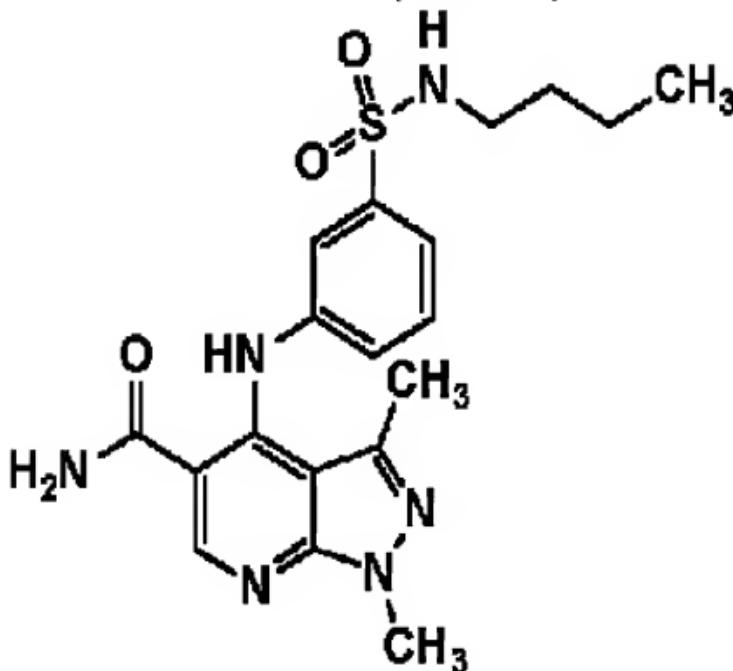
【化 49】

[0134]

Working Example 1 (29)

1 and 3 -dimethyl -4 - (3 -butyl sulfamoyl phenylamino)
pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 49]



【0135】

TLC Rf 0.25 (クロロホルム メタノール=9:1);

NMR (DMSO- d_6) : δ 11.14 (s, 1H), 8.80 (s, 1H), 8.35 (brs, 1H), 7.67(brs, 1H), 7.57 (brs, 1H), 7.60-7.37 (m, 4H), 3.91 (s, 3H), 2.63 (q, J= 7.2 Hz, 2H), 1.65 (s, 3H), 1.35-1.15 (m, 4H), 0.78 (t, J= 7.2 Hz, 3H)。

【0136】

実施例 1(30)

[0135]

TLC Rf 0.25 (chloroform .methanol =9 : 1);

nmr (DMSO- $d₋₆$) ;
 δ 11.14 (s, 1H), 8.80 (s, 1H), 8.35 (brs, 1H), 7.67 (brs, 1H), 7.57 (brs, 1H), 7.60-7.37(m, 4H), 3.91 (s, 3H), 2.63 (q, J=7.2Hz, 2H), 1.65 (s, 3H), 1.35 - 1.15 (m, 4H), 0.78 (t, J=7.2Hz, 3H)。

[0136]

Working Example 1 (30)

1,3-ジメチル-4-(3-ブロボキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 50】



[0137]

TLC:Rf 0.36 (酢酸エチル);

NMR (DMSO- d_6) : δ 10.96 (s, 1H), 8.74 (s, 1H), 8.20 (bs, 1H), 7.55 (bs, 1H), 7.19 (t, J = 8.4 Hz, 1H), 6.74-6.68 (m, 1H), 6.67 (s, 1H), 6.63 (d, J = 8.4 Hz, 1H), 3.89 (s, 3H), 3.87 (t, J = 6.9 Hz, 2H), 1.69 (s, 3H), 1.68 (sext, J= 6.9 Hz, 2H), 0.94 (t, J = 6.9 H z, 3H).

[0138]

実施例 1(31)

1,3-ジメチル-4-(3-シクロヘンチルオキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 51】

1 and 3-dimethyl-4-(3-propoxy phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 50]

[0137]

TLC:Rf 0.36 (ethylacetate);

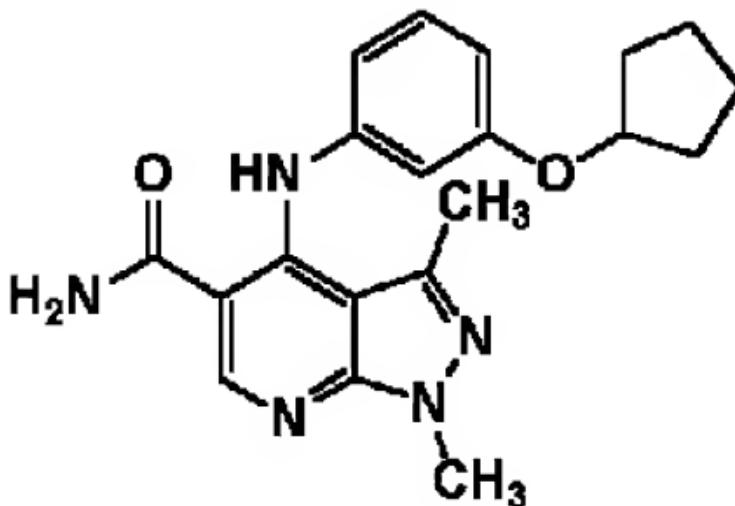
nmr (DMSO- d_6): δ 10.96 (s, 1H), 8.74 (s, 1H), 8.20 (bs, 1H), 7.55 (bs, 1H), 7.19 (t, J=8.4Hz, 1H), 6.74-6.68(m, 1H), 6.67 (s, 1H), 6.63 (d, J=8.4Hz, 1H), 3.89 (s, 3H), 3.87 (t, J=6.9Hz, 2H), 1.69 (s, 3H), 1.68 (sext, J=6.9Hz, 2H), 0.94 (t, J=6.9Hz, 3H)

[0138]

Working Example 1 (31)

1 and 3-dimethyl-4-(3-cyclopentyl oxy phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 51]



【0139】

TLC:Rf 0.35 (酢酸エチル);

NMR (DMSO- d_6) : δ 10.98 (s, 1H), 8.74 (s, 1H), 8.21 (bs, 1H), 7.56 (bs, 1H), 7.19 (t, J = 8.4 Hz, 1H), 6.70-6.60 (m, 3H), 4.80-4.72 (m, 1H), 3.89 (s, 3H), 1.90-1.46 (m, 8H), 1.68 (s, 3H).

【0140】

実施例 1(32)

1,3-ジメチル-4-(3-シクロヘキシルオキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 52】

【0139】

TLC:Rf 0.35 (ethylacetate);

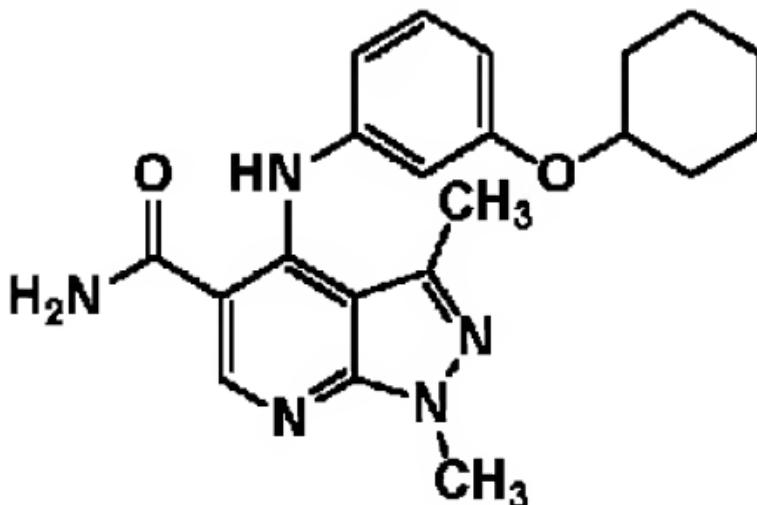
nmr (DMSO- d_6) : δ 10.98 (s, 1H), 8.74 (s, 1H), 8.21 (bs, 1H), 7.56 (bs, 1H), 7.19 (t, J=8.4Hz, 1H), 6.70 - 6.60(m, 3H), 4.80 - 4.72 (m, 1H), 3.89 (s, 3H), 1.90 - 1.46 (m, 8H), 1.68 (s, 3H).

【0140】

Working Example 1 (32)

1 and 3-dimethyl-4-(3-cyclohexyloxy group phenylamino)pyrazolo [5 and 4-b] pyridine-5-carboxamide

【Chemical Formula 52】



【0141】

TLC:Rf 0.52 (酢酸エチル);

NMR (DMSO- d_6) : δ 11.05 (br, 1H), 8.74 (s, 1H), 8.23 (br, 1H), 7.58(br, 1H), 7.18 (d d, J = 8.1, 8.1 Hz, 1H), 6.73-6.61 (m, 3H), 4.32-4.23(m, 1H), 3.88 (s, 3H), 1.90-1.81 (m, 2H), 1.72-1.59 (m, 1H), 1.66 (s, 3H), 1.54-1.43 (m, 1H), 1.43-1.13 (m, 6H).

【0142】

実施例 1(33)

1,3-ジメチル-4-(3-(2H-3,4,5,6-テトラヒドロピラン-4-イル)オキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 53】

【0141】

TLC:Rf 0.52 (ethylacetate);

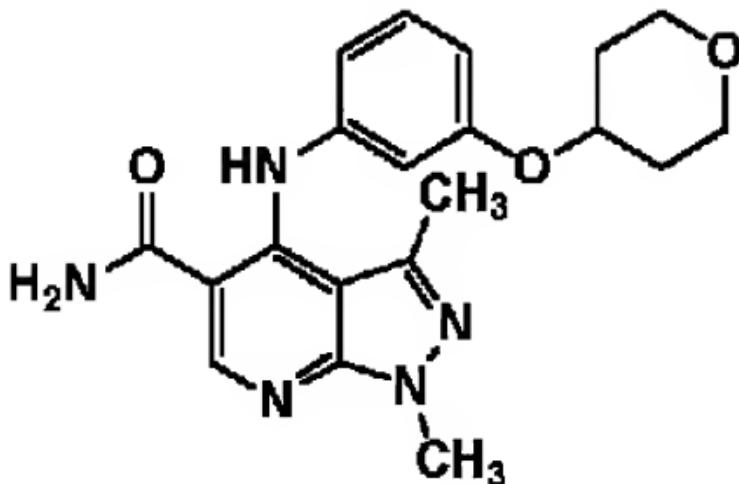
nmr (DMSO- d_6): δ 11.05 (br, 1H), 8.74 (s, 1H), 8.23 (br, 1H), 7.58 (br, 1H), 7.18 (dd, J=8.1, 8.1Hz, 1H), 6.73 - 6.61(m, 3H), 4.32 - 4.23 (m, 1H), 3.88 (s, 3H), 1.90 - 1.81 (m, 2H), 1.72 - 1.59 (m, 1H), 1.66 (s, 3H), 1.54 - 1.43 (m, 1H), 1.43 - 1.13 (m, 6H).

【0142】

Working Example 1 (33)

1 and 3-dimethyl-4-(3-(2H-3,4,5,6-tetrahydropyran-4-yl)oxyphenylamino) pyrazolo [5 and 4-b] pyridine-5-carboxamide

[Chemical Formula 53]



【0143】

TLC.Rf 0.40 (酢酸エチル),

NMR (DMSO-d₆) = δ 11.11 (br, 1H), 8.75 (s, 1H), 8.25 (br, 1H), 7.60 (br, 1H), 7.23-7.17 (m, 1H), 6.78-6.75 (m, 2H), 6.66 (d, J = 7.8 Hz, 1H), 4.58-4.48 (m, 1H), 3.89 (s, 3H), 3.84-3.76 (m, 2H), 3.47-3.38 (m, 2H), 1.95-1.85 (m, 2H), 1.65 (s, 3H), 1.58-1.45 (m, 2H),

【0144】

実施例 1(34)

1,3-ジメチル-4-(3-(オキソラン-3-イル)オキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 54】

【0143】

TLC.Rf 0.40 (ethylacetate);

nmr (DMSO-d₆) = δ 11.11 (br, 1H), 8.75 (s, 1H), 8.25 (br, 1H), 7.60 (br, 1H), 7.23 - 7.17 (m, 1H), 6.78-6.75 (m, 2H), 6.66 (d, J=7.8Hz, 1H), 4.58-4.48 (m, 1H), 3.89 (s, 3H), 3.84-3.76 (m, 2H), 3.47-3.38 (m, 2H), 1.95-1.85 (m, 2H), 1.65 (s, 3H), 1.58-1.45 (m, 2H).

【0144】

Working Example 1 (34)

1 and 3-dimethyl-4-(3-(oxolane-3-yl) oxy phenylamino)pyrazolo [5 + 4-b] pyridine-5-carboxamide

【Chemical Formula 54】



【0145】

TLC:Rf 0.32 (酢酸エチル);

NMR (CDCl_3) : δ 10.59 (s, 1H), 8.53 (s, 1H), 7.23-7.15 (m, 1H), 6.77-6.71 (m, 1H), 6.67-6.61 (m, 2H), 5.83 (bs, 2H), 4.90-4.82 (m, 1H), 3.99 (s, 3H), 3.98-3.83 (m, 4H), 2.23-2.04 (m, 2H), 1.77 (s, 3H).

【0146】

実施例 1(35)

1,3-ジメチル-4-(3-(メチルスルホニルアミノ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 55】

[0145]

TLC:Rf 0.32 (ethylacetate);

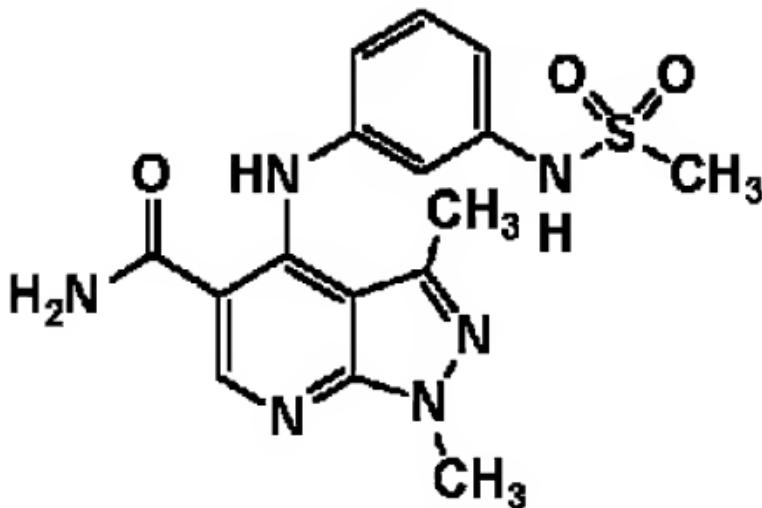
nmr (CDCl_3) : δ 10.59 (s, 1H), 8.53 (s, 1H), 7.23 - 7.15 (m, 1H), 6.77 - 6.71 (m, 1H), 6.67-6.61 (m, 2H), 5.83 (bs, 2H), 4.90 - 4.82 (m, 1H), 3.99 (s, 3H), 3.98 - 3.83 (m, 4H), 2.23 - 2.04(m, 2H), 1.77 (s, 3H).

[0146]

Working Example 1 (35)

1 and 3-dimethyl-4-(3 - (methyl sulfonyl amino) phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 55]



【0147】

TLC:Rf 0.30 (塩化メチレン:メタノール=10:1);
 NMR (DMSO-d₆) : δ 10.96 (s, 1H), 9.72 (s, 1H), 8.75 (s, 1H), 8.23 (bs, 1H), 7.59 (b, s, 1H), 7.27 (t, J = 8.1 Hz, 1H), 7.00-6.92 (m, 2H), 6.87-6.81 (m, 1H), 3.89 (s, 3H), 2.94 (s, 3H), 1.70 (s, 3H).

【0148】

実施例 1(36)

1-メチル-3-エチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 56】

【0147】

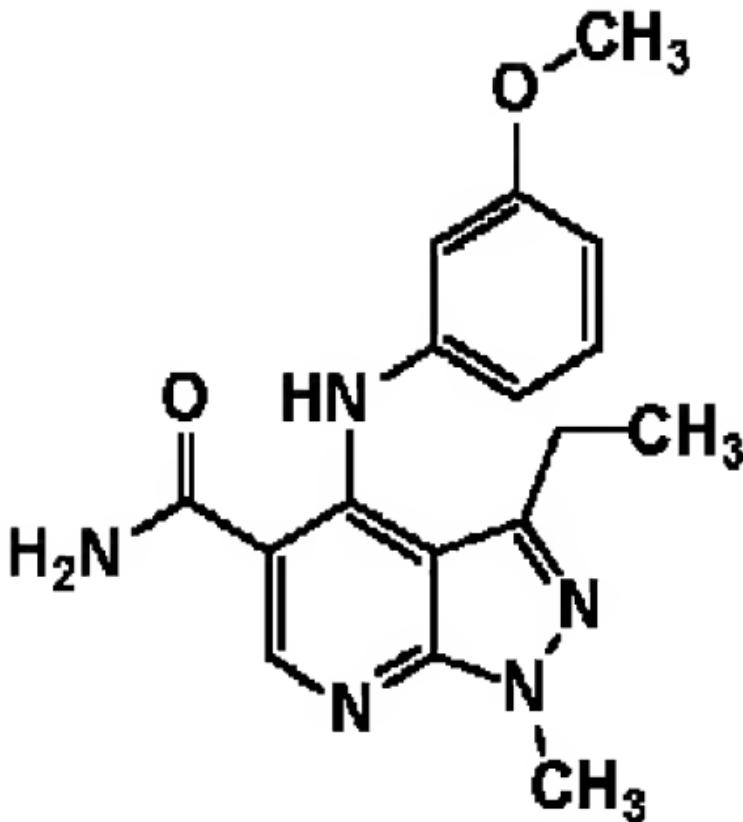
TLC:Rf 0.30 (methylene chloride:methanol=10:1);
 NMR (DMSO-d₆):δ 10.96 (s, 1H), 9.72 (s, 1H), 8.75 (s, 1H), 8.23 (bs, 1H), 7.59 (bs, 1H), 7.27 (t, J=8.1Hz, 1H), 7.00-6.92 (m, 2H), 6.87-6.81 (m, 1H), 3.89 (s, 3H), 2.94 (s, 3H), 1.70 (s, 3H).

【0148】

Working Example 1 (36)

1-methyl-3-ethyl-4-(3-methoxyphenylamino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 56]



【0149】

TLC.Rf 0.59 (酢酸エチル);

NMR (DMSO-d₆) : δ 10.87 (s, 1H), 8.74 (s, 1H), 8.21 (br.s, 1H), 7.56 (br.s, 1H), 7.17 (t, J = 8.1 Hz, 1H), 6.70-6.60 (m, 2H), 6.58 (m, 1H), 3.90 (s, 3H), 3.68 (s, 3H), 1.98 (q, J = 7.2 Hz, 2H), 0.93 (t, J = 7.2 Hz, 3H).

【0150】

[0149]

TLC.Rf 0.59 (ethylacetate);

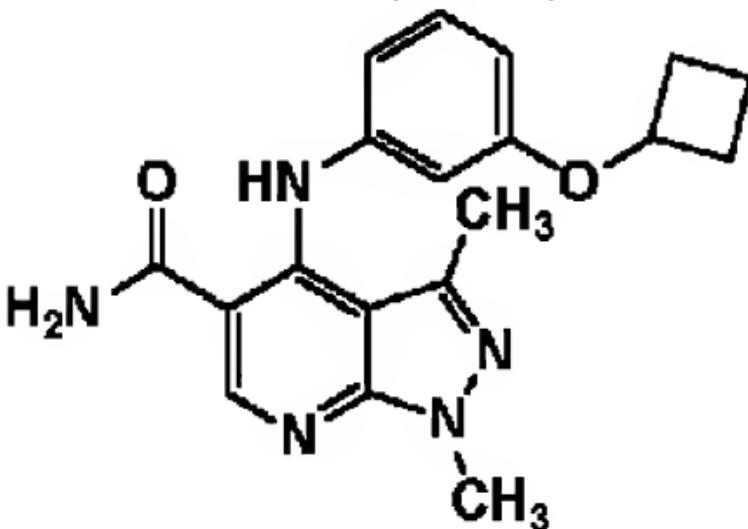
nmr (DMSO-d₆):δ 10.87 (s, 1H), 8.74 (s, 1H), 8.21 (br.s, 1H), 7.56 (br.s, 1H), 7.17 (t, J=8.1Hz, 1H), 6.70 - 6.60(m, 2H), 6.58 (m, 1H), 3.90 (s, 3H), 3.68 (s, 3H), 1.98 (q, J=7.2Hz, 2H), 0.93 (t, J=7.2Hz, 3H).

【0150】

実施例 1(37)

1,3-ジメチル-4-(3-シクロブチルオキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 57】



[0151]

TLC:Rf 0.50 (トルエン酢酸エチル=1:20);

NMR (DMSO- d_6) : δ 11.08 (br, 1H), 8.74 (s, 1H), 8.25 (br, 1H), 7.60 (br, 1H), 7.19 (d, d, J = 8.0, 8.0 Hz, 1H), 6.68-6.56 (m, 3H), 4.61 (quintet, J = 7.1 Hz, 1H), 3.88 (s, 3H), 2.35-2.23 (m, 2H), 2.03-1.85 (m, 2H), 1.79-1.64 (m, 1H), 1.89 (s, 3H), 1.64-1.49 (m, 1H)。

[0152]

実施例 1(38)

1,3-ジメチル-4-(3-((3S)-1-メトキシカルボニルピロリジン-3-イルオキシ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 58】

Working Example 1 (37)

1 and 3 -dimethyl -4- (3 -cyclobutyl oxy phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 57]

[0151]

TLC:Rf 0.50 (toluene : ethylacetate =1:20);

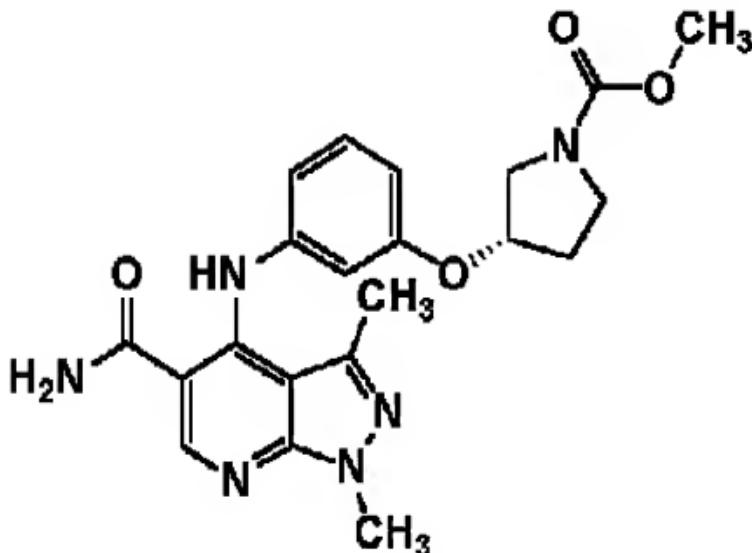
nmr (DMSO- d_6) : δ 11.08 (br, 1H), 8.74 (s, 1H), 8.25 (br, 1H), 7.60 (br, 1H), 7.19 (dd, J=8.0, 8.0Hz, 1H), 6.68 - 6.56(m, 3H), 4.61 (quintet, J=7.1Hz, 1H), 3.88 (s, 3H), 2.35 - 2.23 (m, 2H), 2.03 - 1.85 (m, 2H), 1.79 - 1.64 (m, 1H), 1.89 (s, 3H), 1.64 - 1.49 (m, 1H)

[0152]

Working Example 1 (38)

1 and 3 -dimethyl -4- (3 - (3 S) - 1 -methoxycarbonyl pyrrolidine -3 -yloxy) phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 58]



【0153】

TLC:Rf 0.55 (酢酸エチル:メタノール=10:1);

NMR (DMSO- d_6) : δ 10.93 (br, 1H), 8.73 (s, 1H), 8.19 (br, 1H), 7.55(br, 1H), 7.20 (d d, J = 8.4, 8.4 Hz, 1H), 6.72-6.63 (m, 3H), 4.99 (m, 1H), 3.87 (s, 3H), 3.57 & 3.56 (s, 3H), 3.53-3.27 (m, 4H), 2.18-1.95 (m, 2H), 1.68 (s, 3H).

【0154】

実施例 1(39)

1,3-ジメチル-4-(3-ヒドロキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 59】

【0153】

TLC:Rf 0.55 (ethylacetate:methanol=10:1);

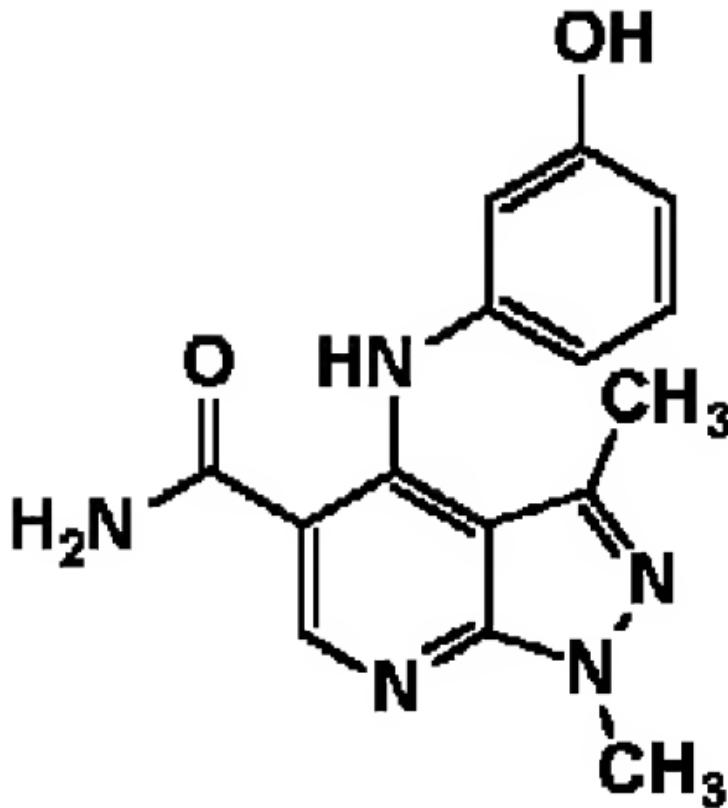
nmr (DMSO- d_6):δ 10.93 (br, 1H), 8.73 (s, 1H), 8.19 (br, 1H), 7.55 (br, 1H), 7.20 (dd, J=8.4, 8.4Hz, 1H), 6.72 - 6.63(m, 3H), 4.99 (m, 1H), 3.87 (s, 3H), 3.57 & 3.56 (s, 3H), 3.53 - 3.27 (m, 4H), 2.18 - 1.95 (m, 2H), 1.68 (s, 3H).

【0154】

Working Example 1 (39)

1 and 3-dimethyl-4-(3-hydroxyphenyl amino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 59]



[0155]

TLC Rf 0.27 (クロロホルム:メノール=10:1);
 NMR ($\text{DMSO}-d_6$) : δ 10.91 (s, 1H), 9.43 (s, 1H), 8.72 (s, 1H), 8.20 (br.s, 1H), 7.54 (br.s, 1H), 7.09 (t, $J = 7.8$ Hz, 1H), 6.55-6.45 (m, 3H), 3.87 (s, 3H), 1.70 (s, 3H).

[0156]

実施例 1(40)

1-(4-メチルフェニル)-3-メチル-4-(3-メトキシフェニル

[0155]

TLC Rf 0.27 (chloroform:methanol=10:1);
 NMR ($\text{DMSO}-d_6$): δ 10.91 (s, 1H), 9.43 (s, 1H), 8.72 (s, 1H), 8.20 (br.s, 1H), 7.54 (br.s, 1H), 7.09 (t, $J=7.8$ Hz, 1H), 6.55-6.45 (m, 3H), 3.87 (s, 3H), 1.70 (s, 3H).

[0156]

Working Example 1 (40)

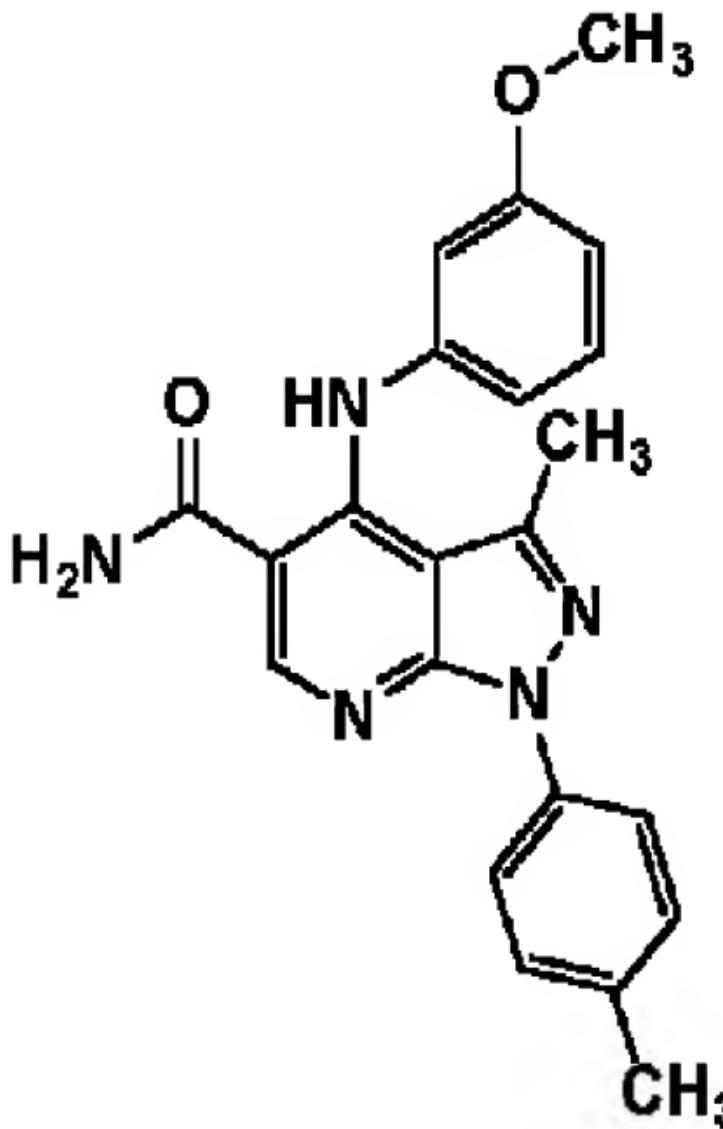
1-(4-methylphenyl)-3-methyl-4-(3-methoxyphenyl

ニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサ
ミド

amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

【化 60】

[Chemical Formula 60]



【0157】

TLC Rf 0.60 (クロロホルム:メタノール=9:1);
 NMR (DMSO-d₆) : δ 10.91 (s, 1H), 8.79 (s, 1H), 8.26 (brs, 1H), 8.03 (d, J = 8.1 Hz, 2H), 7.66 (brs, 1H), 7.31 (d, J = 8.1 Hz, 2H), 7.21 (t, J = 8.4 Hz, 1H), 6.75-6.67 (m, 3H), 3.71 (s, 3H), 2.35 (s, 3H), 1.79 (s, 3H).

【0158】

実施例 1(41)

1-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 61】

[0157]

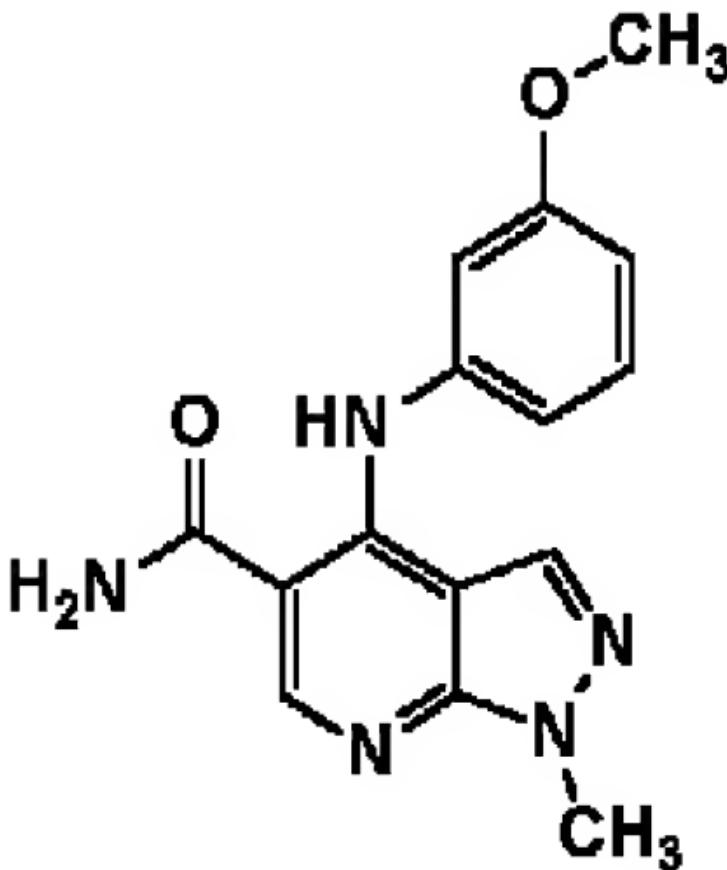
TLC Rf 0.60 (chloroform:methanol=9:1);
 nmr (DMSO-d₆):δ 10.91 (s, 1H), 8.79 (s, 1H), 8.26 (brs, 1H), 8.03 (d, J=8.1Hz, 2H), 7.66 (brs, 1H), 7.31 (d, J=8.1Hz, 2H), 7.21 (t, J=8.4Hz, 1H), 6.75-6.67 (m, 3H), 3.71 (s, 3H), 2.35 (s, 3H), 1.79 (s, 3H)

[0158]

Working Example 1 (41)

1-methyl-4-(3-methoxyphenyl amino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 61]



[0159]

TLC Rf 0.31 (酢酸エチル);

NMR (DMSO-d₆) : δ 11.49 (s, 1H), 8.72 (s, 1H), 8.14 (br.s, 1H), 7.46 (br.s, 1H), 7.38 (t, J = 7.5 Hz, 1H), 7.00-6.85 (m, 3H), 6.67 (s, 1H), 3.89 (s, 3H), 3.75 (s, 3H).

[0159]

TLC:Rf 0.31 (ethylacetate);

nmr (DMSO-d₆):δ 11.49 (s, 1H), 8.72 (s, 1H), 8.14 (br.s, 1H), 7.46 (br.s, 1H), 7.38 (t, J=7.5Hz, 1H), 7.00 - 6.85(m, 3H), 6.67 (s, 1H), 3.89 (s, 3H), 3.75 (s, 3H).

【0160】

実施例 1(42)

1-(3-メトキシフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

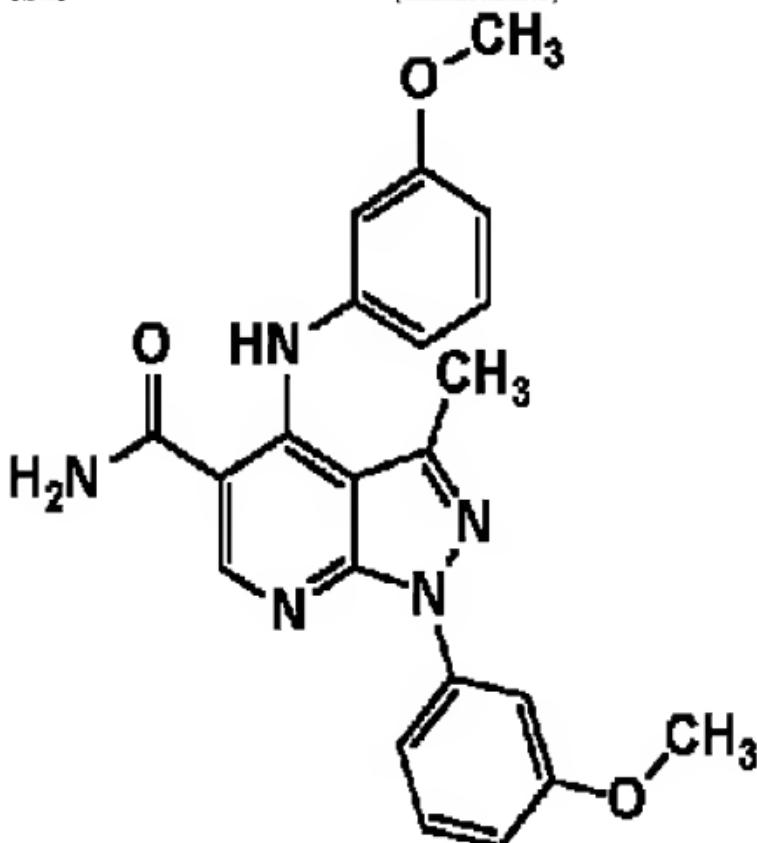
【化 62】

[0160]

Working Example 1 (42)

1 - (3 -methoxyphenyl) - 3 -methyl -4 - (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 62]



【0161】

[0161]

TLC Rf 0.36 (クロロホルム・メタノール=9:1);

NMR (¹DMSO-d₆) : δ 10.92 (s, 1H), 8.82 (s, 1H), 8.35-8.20 (brs, 1H), 7.82-7.79 (m, 2H), 7.73-7.60 (brs, 1H), 7.42 (t, J = 8.1 Hz, 1H), 7.22 (t, J = 8.1 Hz, 1H), 6.89-6.85 (m, 1H), 6.75-6.68 (m, 3H), 3.82 (s, 3H), 3.71 (s, 3H), 1.80 (s, 3H)。

【0162】

実施例 1(43)

1-(4-メトキシフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 63】

TLC Rf 0.36 (chloroform:methanol=9:1);

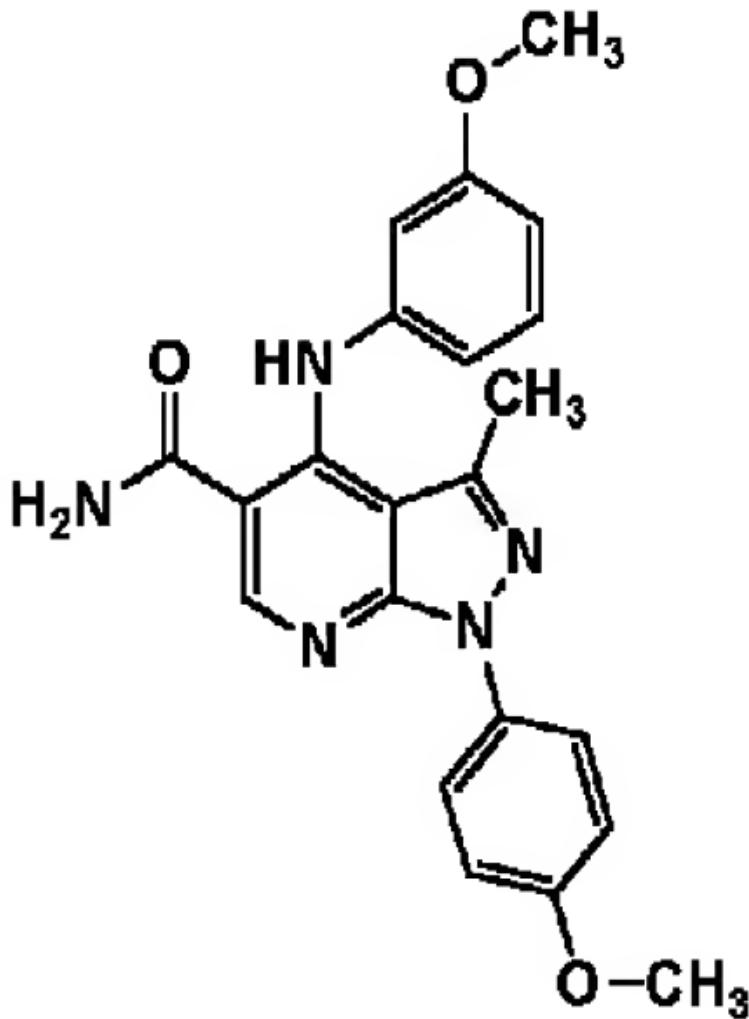
¹H NMR (DMSO-d₆): δ 10.92 (s, 1H), 8.82 (s, 1H), 8.35-8.20 (brs, 1H), 7.82-7.79 (m, 2H), 7.73-7.60 (brs, 1H), 7.42 (t, J=8.1Hz, 1H), 7.22 (t, J=8.1Hz, 1H), 6.89-6.85 (m, 1H), 6.75-6.68 (m, 3H), 3.82 (s, 3H), 3.71 (s, 3H), 1.80 (s, 3H)。

[0162]

Working Example 1 (43)

1 - (4 - methoxyphenyl) - 3 - methyl - 4 - (3 - methoxyphenyl amino) pyrazolo [5 and 4 - b] pyridine - 5 - carboxamide

[Chemical Formula 63]



【0163】

[0163]

TLC Rf 0.46 (クロロホルム:メタノール=9:1);

NMR (¹DMSO-d₆) : δ 10.93 (s, 1H), 8.78 (s, 1H), 8.30-8.20 (brs, 1H), 8.00 (d, J = 9.0 Hz, 2H), 7.67-7.58 (brs, 1H), 7.22 (t, J = 8.1 Hz, 1H), 7.08 (d, J = 9.0 Hz, 2H), 6.75-6.67 (m, 3H), 3.80 (s, 3H), 3.71 (s, 3H), 1.79 (s, 3H).

[0164]

実施例 1(44)

1-(3-メチルフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 64】

TLC Rf 0.46 (chloroform:methanol=9:1);

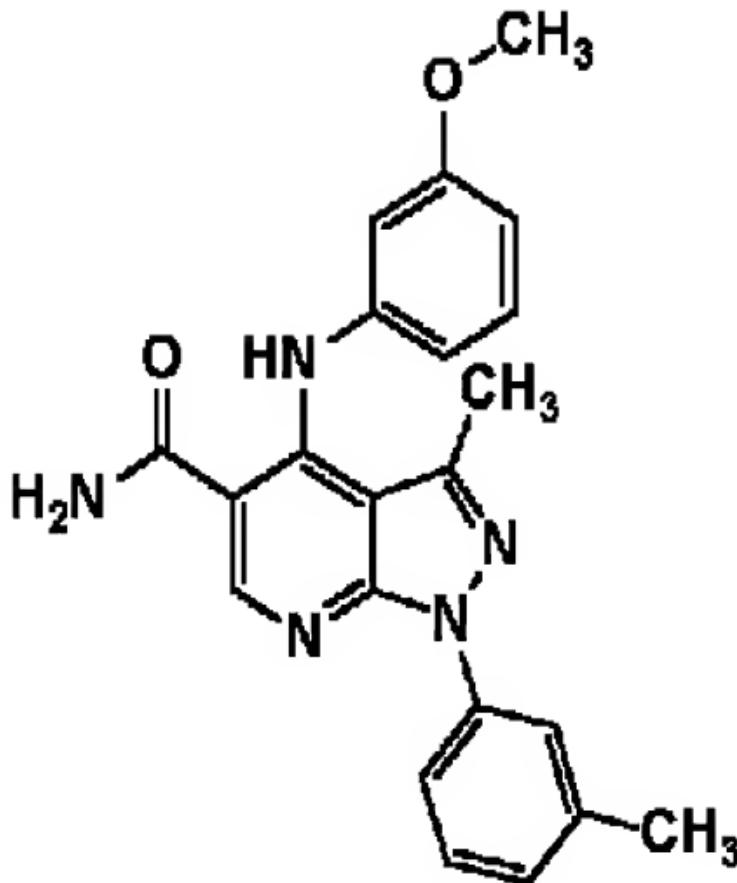
nmr (¹DMSO-d₆):δ 10.93 (s, 1H), 8.78 (s, 1H), 8.30-8.20 (brs, 1H), 8.00 (d, J=9.0Hz, 2H), 7.67-7.58(brs, 1H), 7.22 (t, J=8.1Hz, 1H), 7.08 (d, J=9.0Hz, 2H), 6.75-6.67 (m, 3H), 3.80 (s, 3H), 3.71 (s, 3H), 1.79 (s, 3H).

[0164]

Working Example 1 (44)

1 - (3 - methylphenyl) - 3 - methyl - 4 - (3 - methoxyphenyl amino) pyrazolo [5 and 4 - b] pyridine - 5 - carboxamide

[Chemical Formula 64]



【0165】

TLC Rf 0.45(クロロホルム:メタノール=9:1);

NMR (DMSO- d_6) : δ 10.92 (s, 1H), 8.81 (s, 1H), 8.26 (brs, 1H), 8.00-7.95(m, 2H), 7.67 (brs, 1H), 7.40 (t, $J=7.8\text{Hz}$, 1H), 7.21 (t, $J=7.8\text{Hz}$, 1H), 7.12 (d, $J=7.8\text{Hz}$, 1H), 6.80-6.65 (m, 3H), 3.71 (s, 3H), 2.39 (s, 3H), 1.80 (s, 3H).

[0165]

TLC:Rf 0.45 (chloroform:methanol = 9 : 1);

nmr (DMSO- d_6):de 10.92 (s, 1H), 8.81 (s, 1H), 8.26 (brs, 1H), 8.00 - 7.95 (m, 2H), 7.67 (brs, 1H), 7.40(t, $J=7.8\text{Hz}$, 1H), 7.21 (t, $J=7.8\text{Hz}$, 1H), 7.12 (d, $J=7.8\text{Hz}$, 1H), 6.80 - 6.65 (m, 3H), 3.71 (s, 3H), 2.39 (s, 3H), 1.80 (s, 3H).

(s, 3H),

3H), 1.80 (s, 3H).

【0166】

[0166]

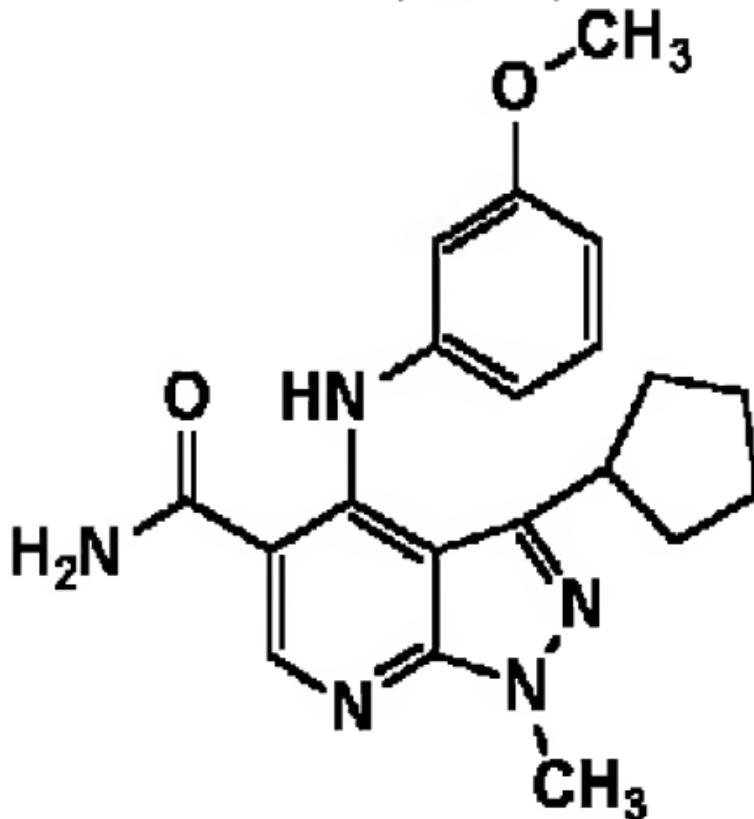
実施例 1(45)

Working Example 1 (45)

1-メチル-3-シクロヘンチル-4-(3-メトキシフェニル
アミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド1-methyl-3-cyclohexyl-4-(3-methoxyphenyl amino)
pyrazolo [5 and 4 -b] pyridine -5-carboxamide

【化 65】

[Chemical Formula 65]



【0167】

[0167]

TLC Rf 0.50 (クロロホルム・メタノール=10:1);

NMR (¹DMSO-d₆) : δ 10.82 (s, 1H), 8.74 (s, 1H), 8.21 (bs, 1H), 7.56 (bs, 1H), 7.17 (d, J = 8.1 Hz, 1H), 6.70-6.61 (m, 2H), 6.56 (d, J = 8.1Hz, 1H), 3.91 (s, 3H), 3.69 (s, 3H), 2.25-2.10 (m, 1H), 1.65-1.43 (m, 6H), 1.35-1.15 (m, 2H).

【0168】

実施例 1(46)

1-(2-クロロフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 66】

TLC Rf 0.50 (chloroform-methanol=10:1);

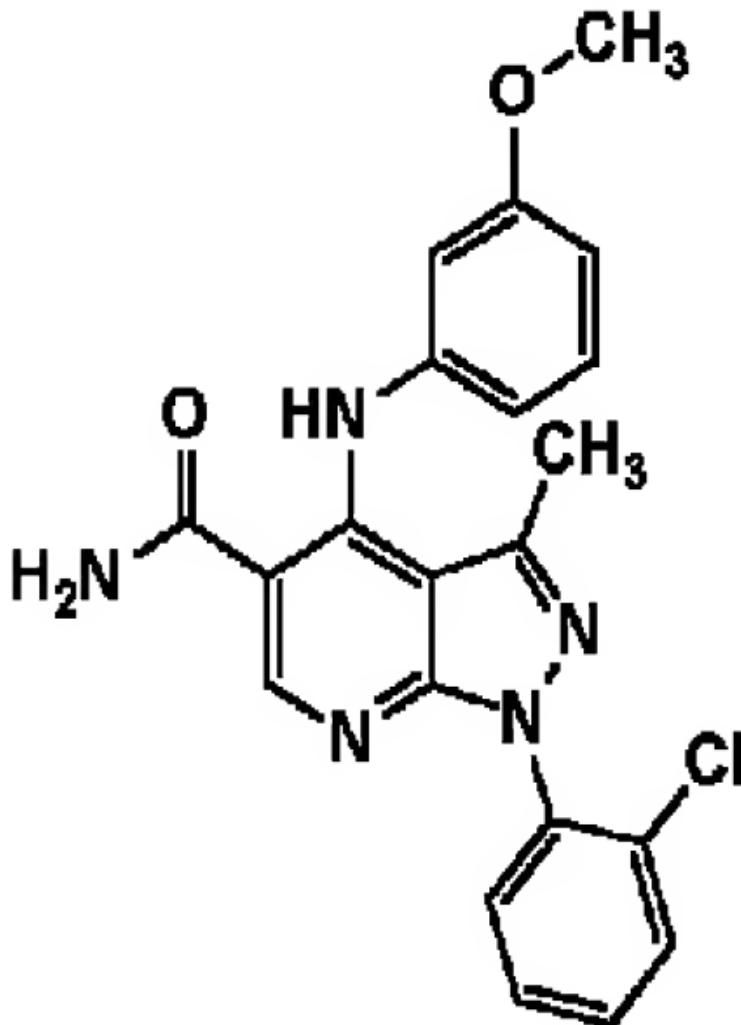
nmr (¹DMSO-d₆-d₂>-6₃>);de 10.82 (s, 1H), 8.74 (s, 1H), 8.21 (bs, 1H), 7.56 (bs, 1H), 7.17 (d, J=8.1Hz, 1H), 6.70-6.61 (m, 2H), 6.56 (d, J=8.1Hz, 1H), 3.91 (s, 3H), 3.69 (s, 3H), 2.25-2.10 (m, 1H), 1.65-1.43 (m, 6H), 1.35-1.15 (m, 2H).

[0168]

Working Example 1 (46)

1-(2-chlorophenyl)-3-methyl-4-(3-methoxyphenylamino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

[Chemical Formula 66]



【0169】

TLC Rf 0.48 (ヘキサン:酢酸エチル=1:3);

NMR (DMSO-d₆) : δ 10.93 (br, 1H), 8.67 (s, 1H), 8.23 (br, 1H), 7.70(dd, J = 7.7, 1.8 Hz, 1H), 7.66-7.49 (m, 4H), 7.25 (dd, J = 7.7, 7.7 Hz, 1H), 6.78-6.67 (m, 3H), 3.72 (s, 3H), 1.78 (s, 3H);

【0170】

実施例 1(47)

1-(3-クロロフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 67】

【0169】

TLC Rf 0.48 (hexane:ethylacetate =1:3);

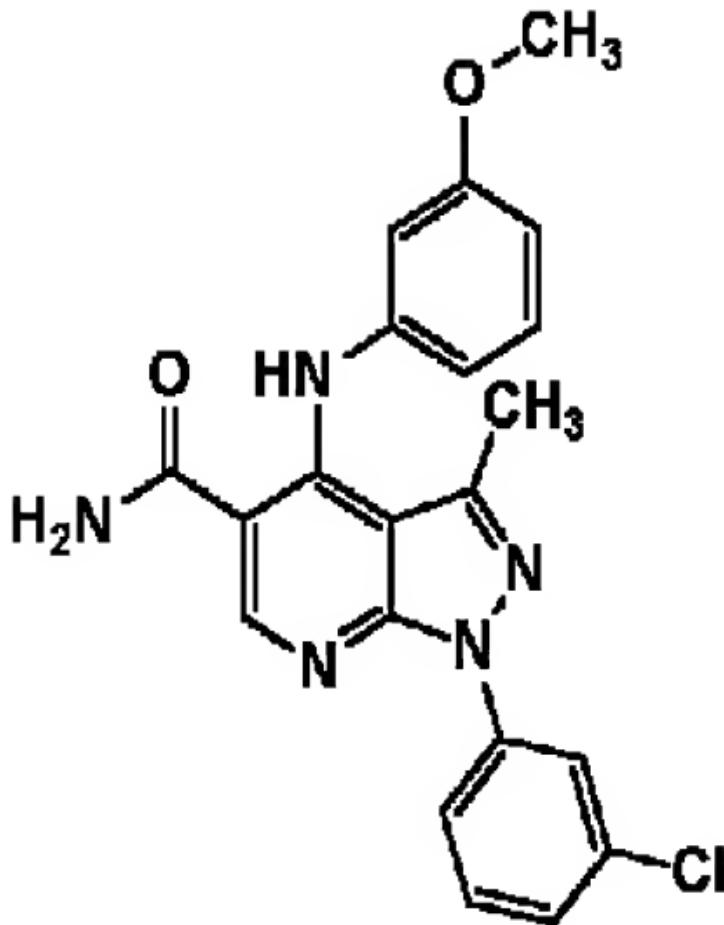
nmr (DMSO-d₆-d₋₂-de-6₋₂); de 10.93 (br, 1H), 8.67 (s, 1H), 8.23 (br, 1H), 7.70 (dd, J=7.7, 1.8Hz, 1H), 7.66 - 7.49 (m, 4H), 7.25(dd, J=7.7, 7.7Hz, 1H), 6.78 - 6.67 (m, 3H), 3.72 (s, 3H), 1.78 (s, 3H).

【0170】

Working Example 1 (47)

1 - (3 -chlorophenyl) - 3 -methyl -4 - (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 67]



【0171】

TLC Rf 0.50 (ヘキサン:酢酸エチル=1:1);

NMR (DMSO- d_6) : δ 10.95 (br, 1H), 8.84 (s, 1H), 8.39 (dd, $J = 2.0, 2.0$ Hz, 1H), 8.28 (br, 1H), 8.22-8.18 (m, 1H), 7.70 (br, 1H), 7.

[0171]

TLC:Rf 0.50 (hexane : ethylacetate =1:1);

nmr (DMSO- d_6 - δ - ppm):
 δ 10.95 (br, 1H), 8.84 (s, 1H), 8.39 (dd, $J=2.0, 2.0$ Hz, 1H), 8.28 (br, 1H), 8.22 - 8.18 (m, 1H), 7.70(br, 1H), 7.55 (dd, $J=8.1, 8.1$ Hz, 1H), 7.38 -

5.5 (dd, J = 8.1, 8.1 Hz, 1H), 7.38-7.33 (m, 1 H), 7.22 (dd, J = 8.0, 8.0 Hz, 1H), 6.79-6.68 (m, 3H), 3.72 (s, 3H), 1.79 (s, 3H).

【0172】

実施例 1(48)

1-(4-クロロフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 68】

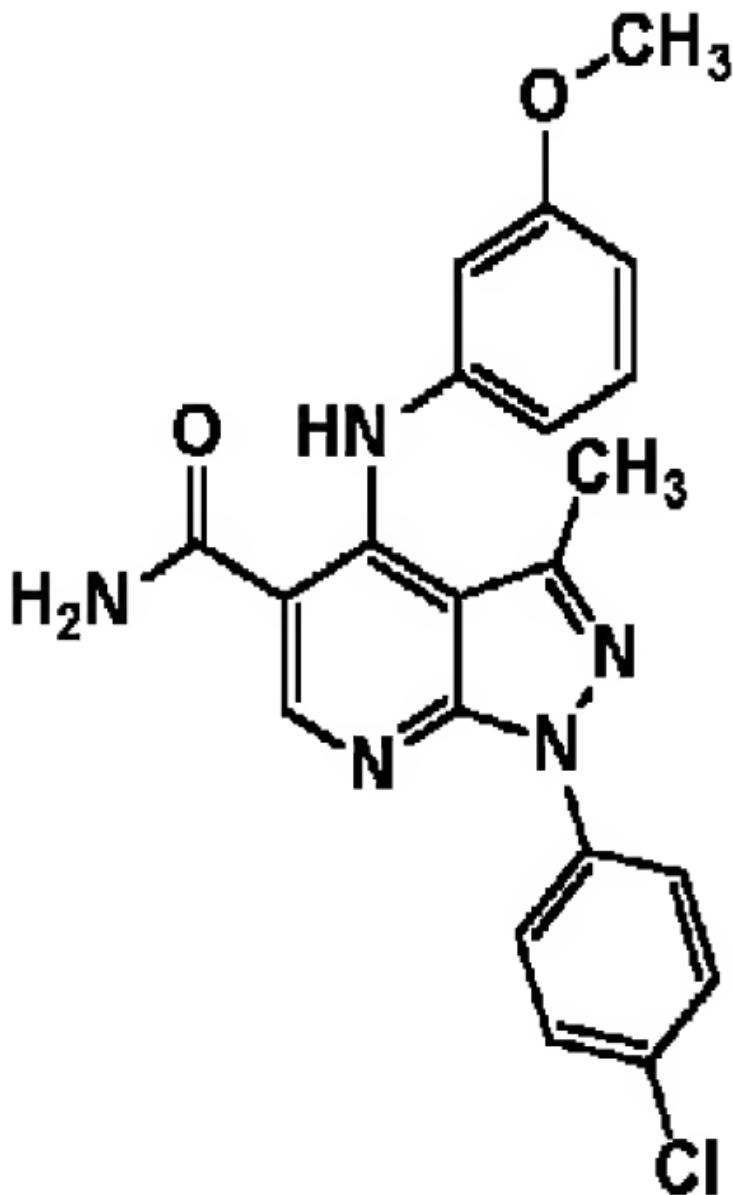
7.33 (m, 1H), 7.22 (dd, J = 8.0, 8.0 Hz, 1H), 6.79-6.68 (m, 3H), 3.72 (s, 3H), 1.79 (s, 3H).

【0172】

Working Example 1 (48)

1 - (4 -chlorophenyl) - 3 -methyl -4 - (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 68]



(57,296)

【0173】

TLC Rf 0.38 (ヘキサン-酢酸エチル=1:1);

NMR (DMSO-d₆) δ 10.94 (br, 1H), 8.81 (s, 1H), 8.29 (br, 1H), 8.26 (d, J = 9.0 Hz, 2H), 7.69 (br, 1H), 7.58 (d, J = 9.0 Hz, 2H), 7.22 (dd, J = 8.1, 8.1 Hz, 1H), 6.77-6.67 (m, 3H), 3.71 (s, 3H), 1.79 (s, 3H).

【0174】

実施例 1(49)

1-エチル-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 69】

[0173]

TLC Rf 0.38 (hexane : ethylacetate =1:1);

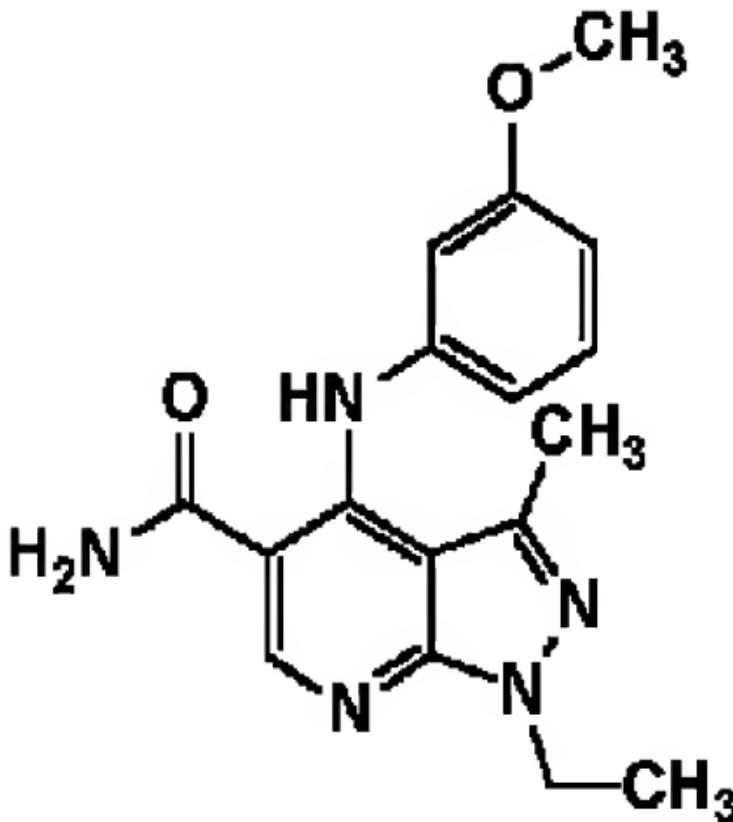
nmr (DMSO-d₆-d₄-6₃);δ 10.94 (br, 1H), 8.81 (s, 1H), 8.29 (br, 1H), 8.26 (d, J=9.0Hz, 2H), 7.69 (br, 1H), 7.58 (d, J=9.0Hz, 2H), 7.22 (dd, J=8.1, 8.1Hz, 1H), 6.77-6.67 (m, 3H), 3.71 (s, 3H), 1.79 (s, 3H).

[0174]

Working Example 1 (49)

1 -ethyl -3- methyl -4- (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 69]



【0175】

TLC.Rf 0.51 (クロロホルム・メタノール-9:1);
 NMR (DMSO-d₆) : δ 10.93 (s, 1H), 8.71 (s, 1H), 8.22-8.15 (brs, 1H), 7.60-7.50 (brs, 1H), 7.20 (dd, J = 8.7, 7.8 Hz, 1H), 6.70-6.67 (m, 2H), 6.63 (d, J = 8.7 Hz, 1H), 4.31 (q, J = 7.2 Hz, 2H), 3.70 (s, 3H), 1.69 (s, 3H), 1.35 (t, J = 7.2 Hz, 1H).

【0176】

【0175】

TLC.Rf 0.51 (chloroform:methanol-9:1);
 nmr (DMSO-d₆):δ 10.93 (s, 1H), 8.71 (s, 1H), 8.22 - 8.15 (brs, 1H), 7.60 - 7.50 (brs, 1H), 7.20(dd, J=8.7, 7.8Hz, 1H), 6.70 - 6.67 (m, 2H), 6.63 (d, J=8.7Hz, 1H), 4.31 (q, J=7.2Hz, 2H), 3.70 (s, 3H), 1.69 (s, 3H), 1.35 (t, J=7.2Hz, 1H).

【0176】

実施例 1(50)

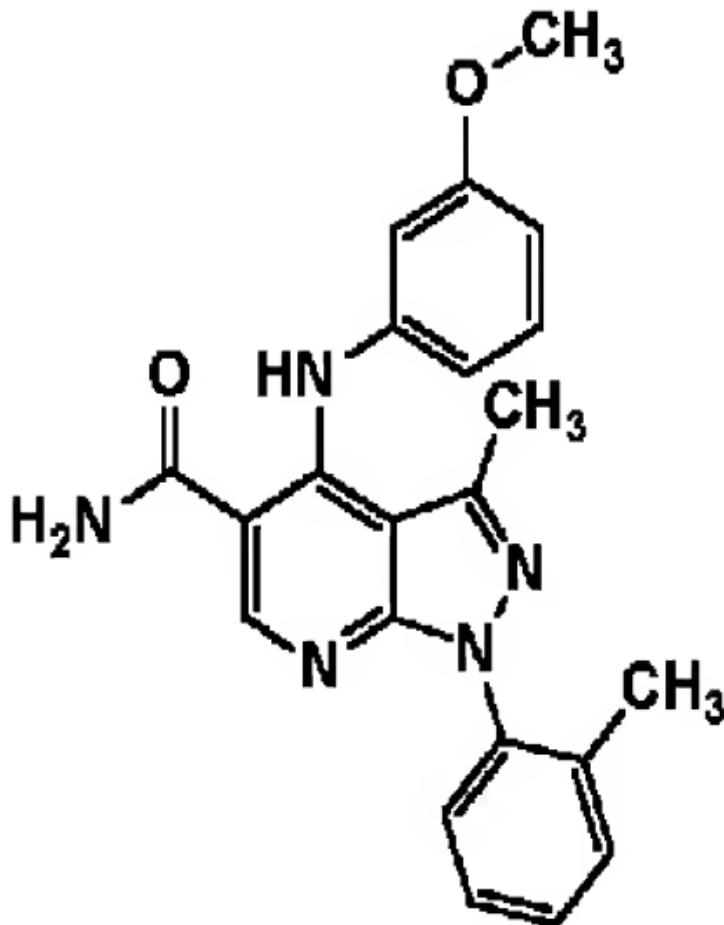
1-(2-メチルフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 70】

Working Example 1 (50)

1 - (2 - methylphenyl) - 3 - methyl - 4 - (3 - methoxyphenyl amino) pyrazolo [5 and 4 - b] pyridine - 5 - carboxamide

[Chemical Formula 70]



【0177】

TLC Rf 0.45(クロロホルム:メタノール=9:1);

NMR(DMSO-d₆) : δ 10.95(s, 1H), 8.67(s, 1H), 8.21(brs, 1H), 7.59(brs, 1H), 7.45-7.30(m, 4H), 7.24(t, J=8.1 Hz, 1H), 6.80-6.60(m, 3H),

[0177]

TLC:Rf 0.45 (chloroform:methanol =9:1);

nmr(DMSO-d<sub>-6</sub>):δ 10.95 (s, 1H), 8.67 (s, 1H), 8.21 (brs, 1H), 7.59 (brs, 1H), 7.45 - 7.30 (m, 4H), 7.24 (t, J=8.1Hz, 1H), 6.80 - 6.60 (m, 3H), 3.72 (s, 3H),

(m, 3H), 3.72 (s, 3H), 2.05 (s, 3H), 1.78 (s, 3H),
2.05 (s, 3H), 1.78 (s, 3H).

【0178】

実施例 1(51)

1-シクロヘンチル-3-メチル-4-(3-メトキシフェニル
アミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

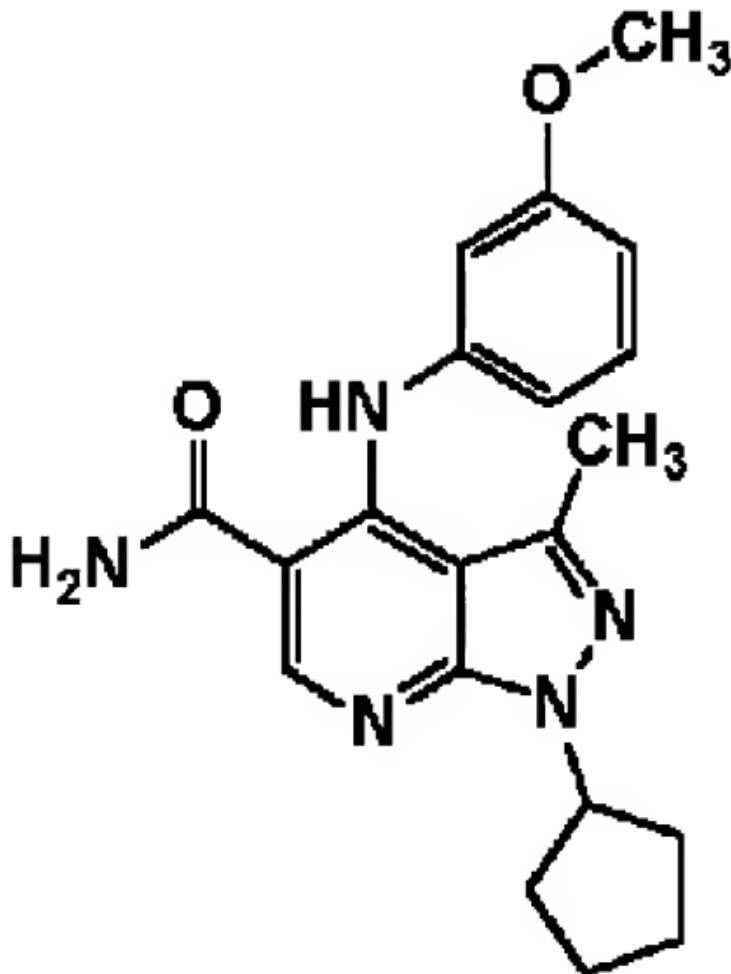
【化 71】

[0178]

Working Example 1 (51)

1-cyclopentyl -3- methyl -4- (3 -methoxyphenyl amino)
pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 71]



【0179】

TLC:Rf 0.35 (クロロホルム:メタノール=10:1);

[0179]

TLC:Rf 0.35 (chloroform :methanol =10:1);

NMR (DMSO-d₆) : δ 10.91 (s, 1H), 8.70 (s, 1H), 8.18 (br.s, 1H), 7.54 (br.s, 1H), 7.19 (t, J = 8.4 Hz, 1H), 6.75-6.60 (m, 3H), 5.23 (quintet, J = 7.4 Hz, 1H), 3.70 (s, 3H), 2.10-1.75 (m, 6H), 1.70 (s, 3H), 1.75-1.60 (m, 2H)。

【0180】

実施例 1(52)

1-ブチル-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 72】

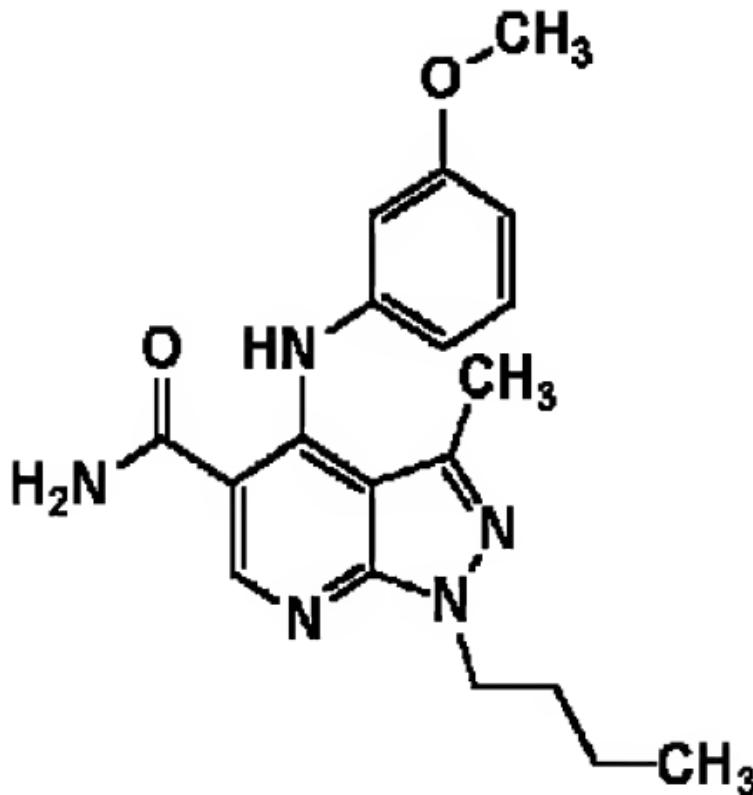
nmr (DMSO-d₆):δ 10.91 (s, 1H), 8.70 (s, 1H), 8.18 (br.s, 1H), 7.54 (br.s, 1H), 7.19 (t, J = 8.4Hz, 1H), 6.75 - 6.60(m, 3H), 5.23 (quintet, J=7.4Hz, 1H), 3.70 (s, 3H), 2.10 - 1.75 (m, 6H), 1.70 (s, 3H), 1.75 - 1.60 (m, 2H)。

[0180]

Working Example 1 (52)

1-butyl-3-methyl-4-(3-methoxyphenyl amino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 72]



【0181】

TLC:Rf 0.40(クロロホルム:メタノール=9:1);
 NMR (DMSO-d₆) : δ 10.94 (s, 1H), 8.71 (s, 1H), 8.19 (brs, 1H), 7.55(brs, 1H), 7.23-7.17 (m, 1H), 6.73-6.60 (m, 3H), 4.28 (t, J = 7.0 Hz, 2H), 3.69 (s, 3H), 1.77(quint, J = 7.0Hz, 2H), 1.68 (s, 3H), 1.20 (tq, J= 7.0, 7.5 Hz, 2H), 0.87 (t, J = 7.5 Hz, 3H)。

【0182】

実施例 1(53)

【0181】

TLC:Rf 0.40 (chloroform:methanol = 9:1);
 nmr (DMSO-d₆):δ 10.94 (s, 1H), 8.71 (s, 1H), 8.19 (brs, 1H), 7.55 (brs, 1H), 7.23 - 7.17 (m, 1H), 6.73-6.60 (m, 3H), 4.28 (t, J=7.0Hz, 2H), 3.69 (s, 3H), 1.77 (quint, J=7.0Hz, 2H), 1.68 (s, 3H), 1.20 (tq, J=7.0, 7.5Hz, 2H), 0.87 (t, J=7.5Hz, 3H)。

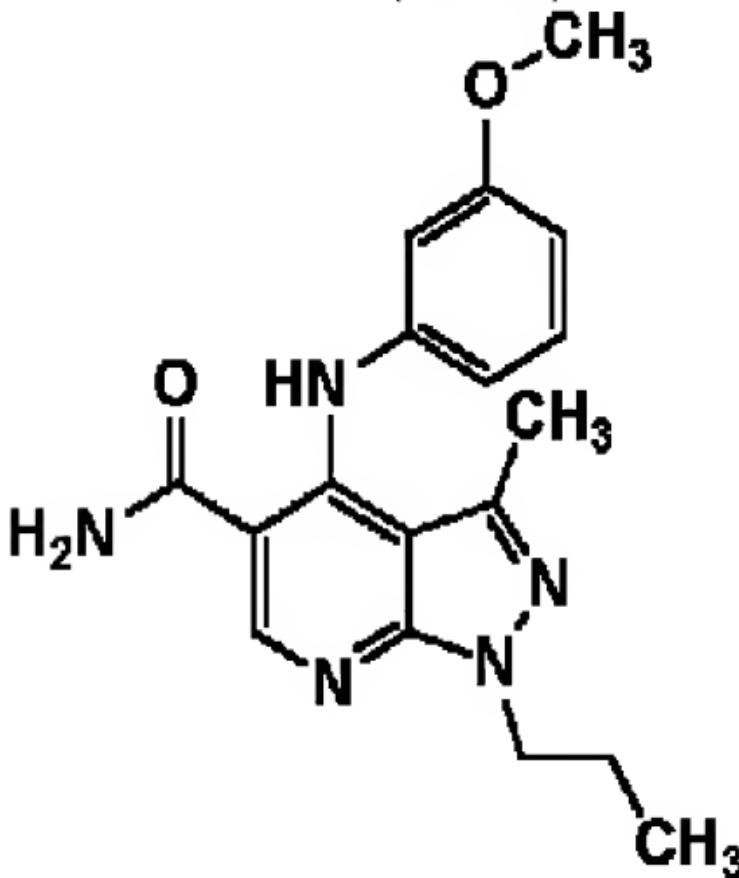
【0182】

Working Example 1 (53)

1-プロピル-3-メチル-4-(3-メトキシフェニルアミノ)
ピラゾロ[5,4-b]ビリジン-5-カルボキサミド

【化 73】

1 -propyl -3- methyl -4 - (3 -methoxyphenyl amino) pyrazolo
[5 and 4 -b] pyridine -5 -carboxamide
[Chemical Formula 73]



【0183】

TLC:Rf 0.40(クロロホルム:メタノール=9:1);

NMR (DMSO-d₆) : δ 10.94 (s, 1H), 8.71

[0183]

TLC:Rf 0.40 (chloroform:methanol = 9:1);

nmr (DMSO-d<sub>6</sub>) ;δ 10.94 (s, 1H), 8.71 (s,

(s, 1H), 8.18 (brs, 1H), 7.55(brs, 1H), 7.23-7.17 (m, 1H),
17 (m, 1H), 6.71-6.62 (m, 3H), 4.23 (dq, J = 6.6 Hz, 2H),
3.69 (s, 3H), 1.80 (t, J = 6.6, 7.2 Hz, 2H), 1.69 (s, 3H), 0.81 (t, J = 7.2 Hz, 3H).

【0184】

実施例 1(54)

1-メチル-3-メチル-4-(3-(メトキシカルボニルアミノ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 74】

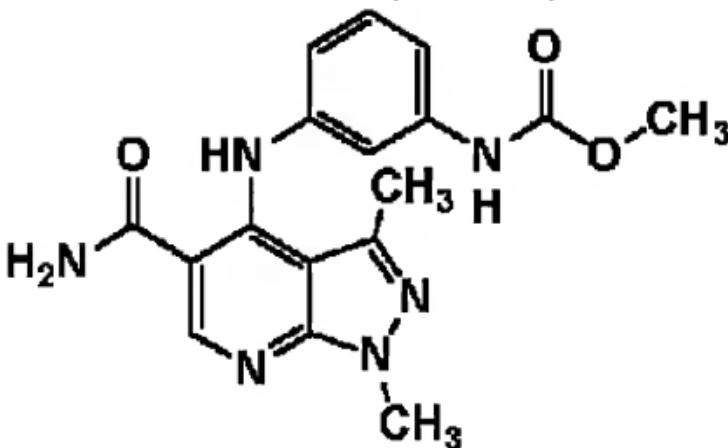
1H), 8.18 (brs, 1H), 7.55 (brs, 1H), 7.23-7.17 (m, 1H),
6.71-6.62 (m, 3H), 4.23 (dq, J = 6.6 Hz, 2H), 3.69 (s, 3H),
1.80 (t, J = 6.6, 7.2 Hz, 2H), 1.69 (s, 3H), 0.81 (t, J = 7.2 Hz, 3H).

[0184]

Working Example 1 (54)

1-methyl-3-methyl-4-(3-(metokishikaruboniruamino)phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 74]



【0185】

TLC:Rf 0.41 (クロロホルム:メタノール=10:1);

NMR (DMSO-d₆) : δ 10.99 (s, 1H), 9.62 (s, 1H), 8.73 (s, 1H), 8.21 (br, 1H), 7.56 (br, 1H), 7.23-7.19 (m, 3H), 6.75-6.71 (m, 1H), 3.87 (s, 3H), 3.61 (s, 3H), 1.67 (s, 3H).

【0186】

実施例 1(55)

1-シクロヘキシリ-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 75】

[0185]

TLC:Rf 0.41 (chloroform:methanol=10:1);

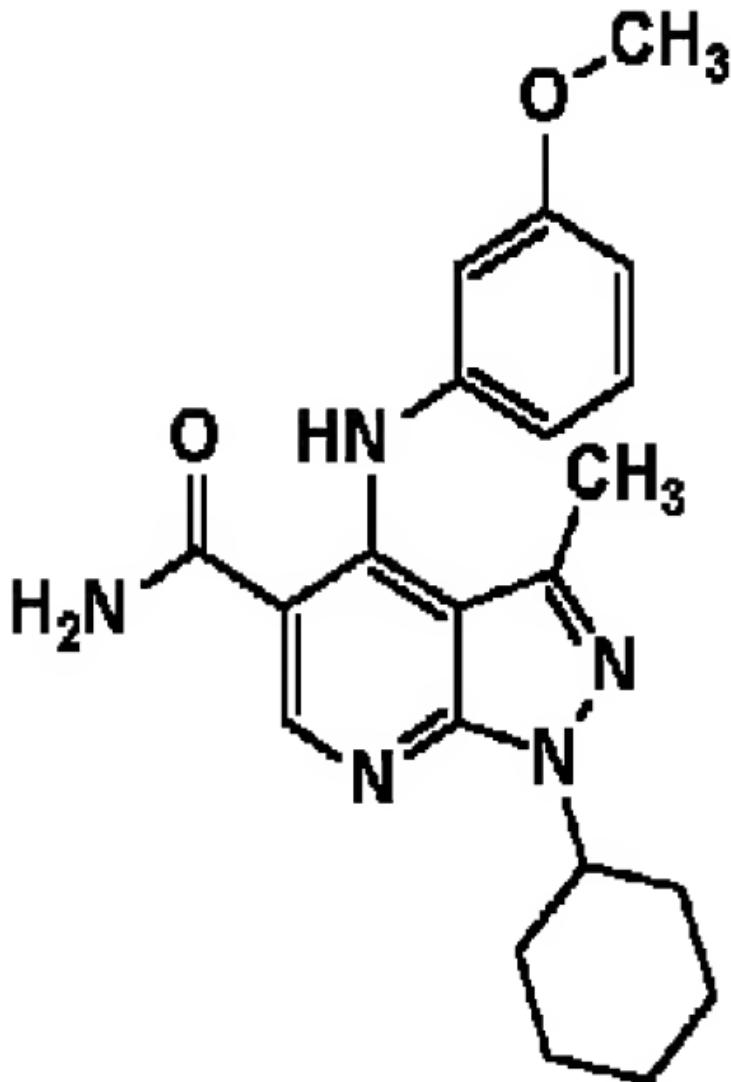
nmr (DMSO-d₆):δ 10.99 (s, 1H), 9.62 (s, 1H), 8.73 (s, 1H), 8.21 (br, 1H), 7.56 (br, 1H), 7.23-7.19 (m, 3H), 6.75-6.71 (m, 1H), 3.87 (s, 3H), 3.61 (s, 3H), 1.67 (s, 3H).

[0186]

Working Example 1 (55)

1-cyclohexyl-3-methyl-4-(3-methoxyphenyl amino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 75]



【0187】

TLC Rf 0.50 (クロロホルム:メタノール=9:1);
 NMR (CDCl_3) : δ 10.54 (s, 1H), 8.51 (s, 1H), 7.22-7.15 (m, 1H), 6.73-6.66 (m, 3H), 5.90-5.70 (brs, 2H), 4.78-4.68 (m, 1H), 3.76 (s, 3H), 2.05-1.85 (m, 6H), 1.80 (s, 3H), 1.75-1.20 (m, 4H).

【0188】

実施例 1(56)

1-(2-メトキシフェニル)-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 76】

[0187]

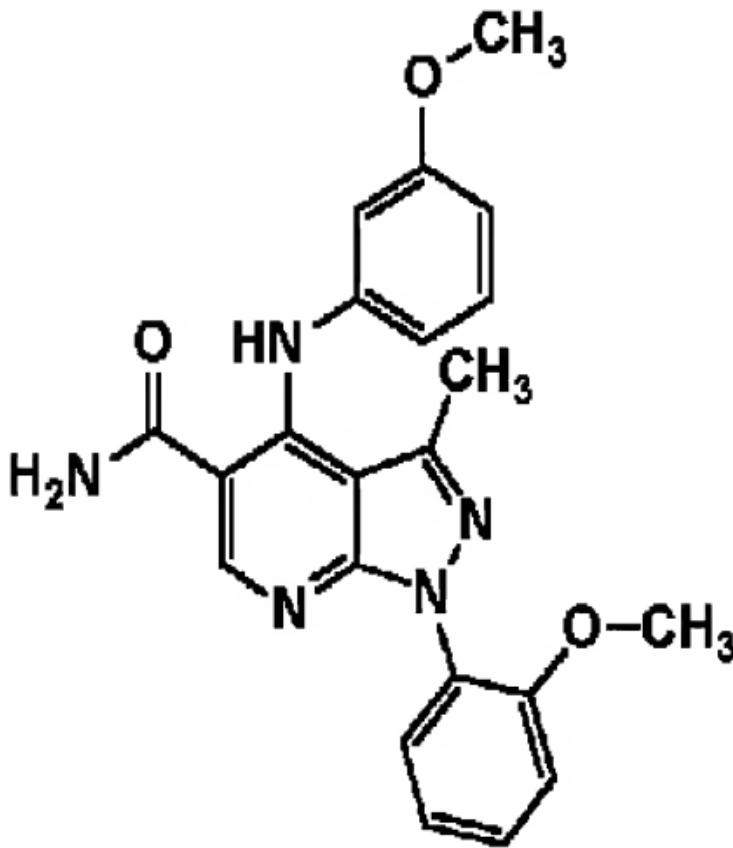
TLC Rf 0.50 (chloroform:methanol=9:1);
 nmr ($\text{CDCl}_3\text{-}3\text{-}$);de 10.54 (s, 1H), 8.51 (s, 1H), 7.22 - 7.15 (m, 1H), 6.73 - 6.66 (m, 3H), 5.90-5.70 (brs, 2H), 4.78 - 4.68 (m, 1H), 3.76 (s, 3H), 2.05 - 1.85 (m, 6H), 1.80 (s, 3H), 1.75 - 1.20(m, 4H).

[0188]

Working Example 1 (56)

1 - (2 -methoxyphenyl) - 3 -methyl -4- (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 76]



【0189】

TLC R_f 0.52 (クロロホルム・メタノール=9:1);

NMR (DMSO-d₆) : δ 10.87 (s, 1H), 8.63 (s, 1H), 8.25-8.15 (brs, 1H), 7.62-7.53 (brs, 1H), 7.52-7.46 (m, 1H), 7.38-7.34 (m, 1H), 7.27-7.21 (m, 2H), 7.10-7.05 (m, 1H), 6.74-6.66 (m, 3H), 3.73 (s, 3H), 3.70 (s, 3H), 1.77 (s, 3H).

【0189】

TLC R_f 0.52 (chloroform:methanol=9:1);

nmr (DMSO-d₆):δ 10.87 (s, 1H), 8.63 (s, 1H), 8.25 - 8.15 (brs, 1H), 7.62 - 7.53 (brs, 1H), 7.52 - 7.46 (m, 1H), 7.38 - 7.34 (m, 1H), 7.27 - 7.21 (m, 2H), 7.10 - 7.05 (m, 1H), 6.74 - 6.66 (m, 3H), 3.73 (s, 3H), 3.70 (s, 3H), 1.77 (s, 3H)

【0190】

実施例 1(57)

1,3-ジメチル-4-(3-カルバモイルフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

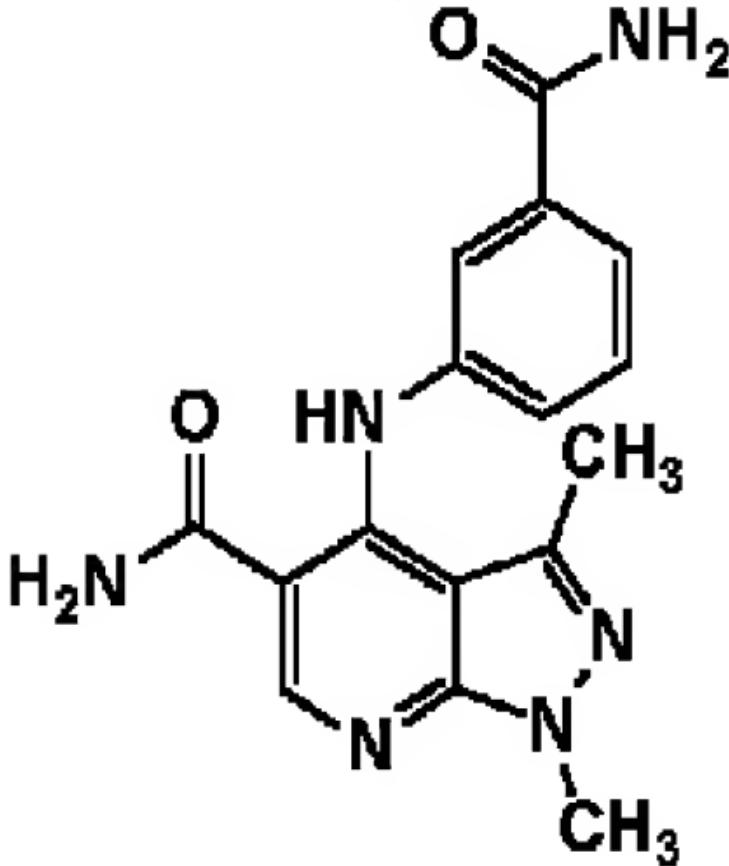
【化 77】

[0190]

Working Example 1 (57)

1 and 3 -dimethyl -4 - (3 - carbamoyl phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 77]



【0191】

[0191]

TLC Rf 0.34 (クロロホルム・メタノール=10:1);
 NMR (DMSO-d₆) : δ 11.07 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.94(s, 1H), 7.63-7.56 (m, 3H), 7.39 (dd, J = 7.8, 7.8 Hz, 1H), 7.35 (s, 1H), 7.27-7.23 (m, 1H), 3.88 (s, 3H), 1.59 (s, 3H).

【0192】

実施例 1(58)

1,3-ジメチル-4-(3-(アミノカルバモイル)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 78】

TLC Rf 0.34 (chloroform:methanol=10:1);

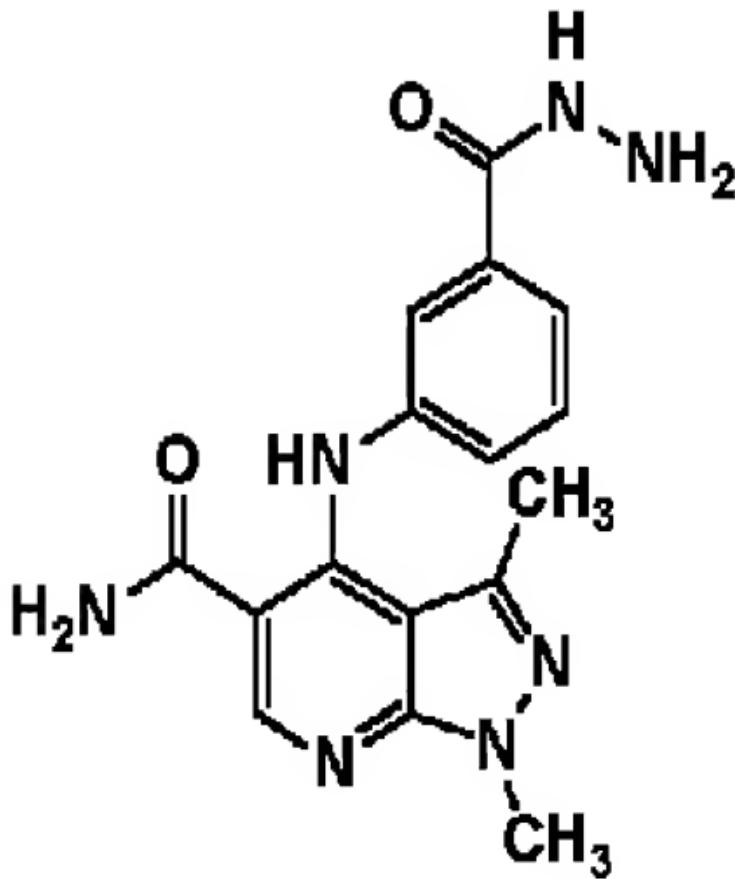
nmr (DMSO-d₆):δ 11.07 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.94 (s, 1H), 7.63 - 7.56 (m, 3H), 7.39(dd, J=7.8, 7.8Hz, 1H), 7.35 (s, 1H), 7.27 - 7.23 (m, 1H), 3.88 (s, 3H), 1.59 (s, 3H).

[0192]

Working Example 1 (58)

1 and 3 -dimethyl-4- (3 - (amino carbamoyl) phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 78]



[0193]

TLC Rf 0.67 (クロロホルム:メタノール=5:1);

NMR (DMSO-d_6) : δ 11.05 (s, 1H), 9.73 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.58-7.51 (m, 3H), 7.39 (dd, $J = 7.8, 7.8\text{Hz}$, 1H), 7.27-7.23 (m, 1H), 4.75-4.35 (m, 2H), 3.88 (s, 3H), 1.58 (s, 3H).

[0193]

TLC Rf 0.67 (chloroform:methanol=5:1);

nmr (DMSO-d_6): δ 11.05 (s, 1H), 9.73 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.58 - 7.51 (m, 3H), 7.39 (dd, $J = 7.8, 7.8\text{Hz}$, 1H), 7.27 - 7.23 (m, 1H), 4.75 - 4.35 (m, 2H), 3.88 (s, 3H), 1.58 (s, 3H).

【0194】

実施例 1(59)

1,3-ジメチル-4-(3-(メキシメトキシ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 79】

【0194】

Working Example 1 (59)

1 and 3-dimethyl-4-(3-(methoxy methoxy) phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 79]



【0195】

TLC:Rf 0.50 (酢酸エチル);

NMR (DMSO-d₆) : δ 10.97 (s, 1H), 8.73 (s, 1H), 8.20 (brs, 1H), 7.55(brs, 1H), 7.24-7.18 (m, 1H), 6.80-6.68 (m, 3H), 5.12 (s, 2H), 3.88 (s, 3H), 3.31 (s, 3H), 1.69 (s, 3H).

【0196】

実施例 1(60)

1,3-ジメチル-4-(3-(ヒドロキシミノ)メチル)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 80】

【0195】

TLC:Rf 0.50 (ethylacetate);

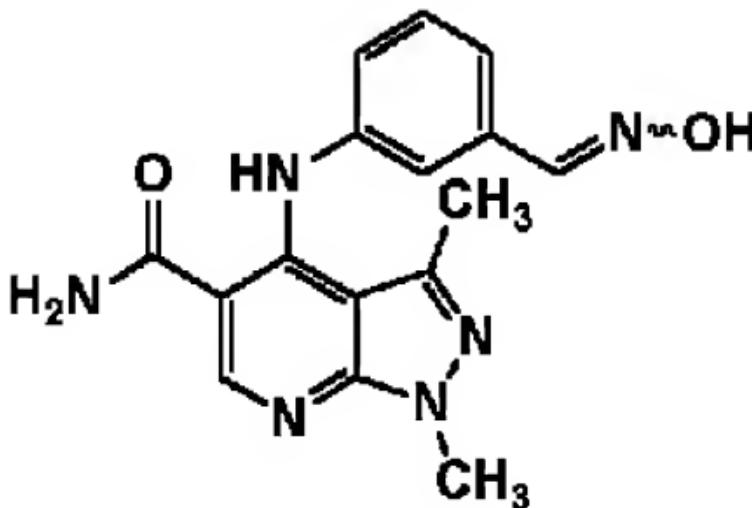
nmr (DMSO-d<sub>6</sub>) : δ 10.97 (s, 1H), 8.73 (s, 1H), 8.20 (brs, 1H), 7.55 (brs, 1H), 7.24 - 7.18 (m, 1H), 6.80-6.68 (m, 3H), 5.12 (s, 2H), 3.88 (s, 3H), 3.31 (s, 3H), 1.69 (s, 3H).

【0196】

Working Example 1 (60)

1 and 3-dimethyl-4-(3-(hydroxy imino) methyl) phenylamino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 80]



【0197】

TLC:Rf 0.47 (クロロホルム:メタノール=8:1);
 NMR (DMSO-d₆) . δ 11.23 (s, 1H), 11.00 (s, 1H), 8.75 (s, 1H), 8.22(br, 1H), 8.07 (s, 1H), 7.57 (br, 1H), 7.37-7.28 (m, 3H), 7.14-7.09 (m, 1H), 3.88 (s, 3H), 1.66 (s, 3H).

【0198】

実施例 1(61)

1,3-ジメチル-4-(3-((メトキシイミノ)メチル)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 81】

【0197】

TLC:Rf 0.47 (chloroform:methanol =8:1);
 nmr (DMSO-d₆-d₂-6₂);δ 11.23 (s, 1H), 11.00 (s, 1H), 8.75 (s, 1H), 8.22 (br, 1H), 8.07 (s, 1H), 7.57 (br, 1H), 7.37-7.28 (m, 3H), 7.14-7.09 (m, 1H), 3.88 (s, 3H), 1.66 (s, 3H).

【0198】

Working Example 1 (61)

1 and 3-dimethyl-4-(3-((methoxyimino)methyl)phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 81]



【0199】

TLC:Rf 0.57 (クロロホルム:メタノール=8:1);
 NMR (DMSO-d₆) : δ 11.01 (s, 1H), 8.75 (s, 1H), 8.21 (br, 1H), 8.17 (s, 1H), 7.57 (br, 1H), 7.38-7.31 (m, 3H), 7.16-7.11 (m, 1H), 3.88 (s, 3H), 3.84 (s, 3H), 1.65 (s, 3H),

【0200】

実施例 1(62)

1,3-ジメチル-4-(3-((アミノイミノ)メチル)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 82】

【0199】

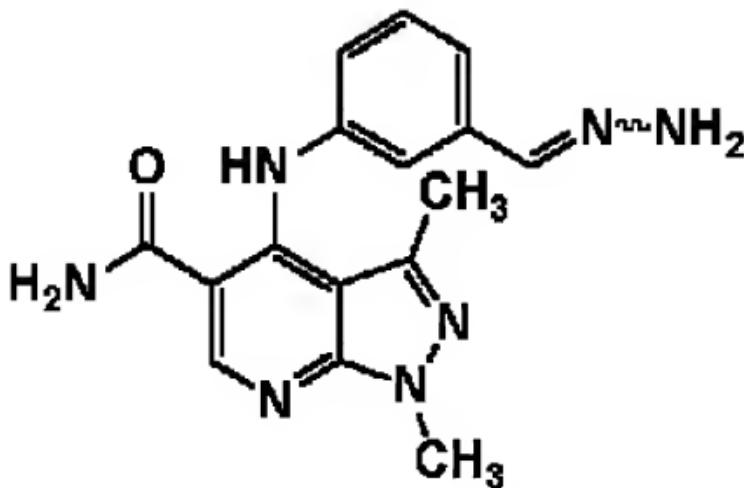
TLC:Rf 0.57 (chloroform:methanol=8:1);
 nmr (DMSO-d₆):δ 11.01 (s, 1H), 8.75 (s, 1H), 8.21 (br, 1H), 8.17 (s, 1H), 7.57 (br, 1H), 7.38 - 7.31 (m, 3H), 7.16 - 7.11 (m, 1H), 3.88 (s, 3H), 3.84 (s, 3H), 1.65 (s, 3H).

【0200】

Working Example 1 (62)

1 and 3-dimethyl-4-(3 - (amino imino) methyl) phenylamino) pyrazolo [5 and 4 - b] pyridine -5 - carboxamide

[Chemical Formula 82]



【0201】

TLC.Rf 0.47 (クロロホルム:メタノール=8.1);

NMR (DMSO-d₆) : δ 10.99 (s, 1H), 8.74 (s, 1H), 8.20 (br, 1H), 7.60 (s, 1H), 7.56 (br, 1H), 7.30-7.16 (m, 3H), 6.99-6.96 (m, 1H), 6.77 (s, 2H), 3.88 (s, 3H), 1.64 (s, 3H).

【0202】

実施例 1(63)

1,3-ジメチル-4-(3-シアノフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 83】

[0201]

TLC.Rf 0.47 (chloroform:methanol =8.1),

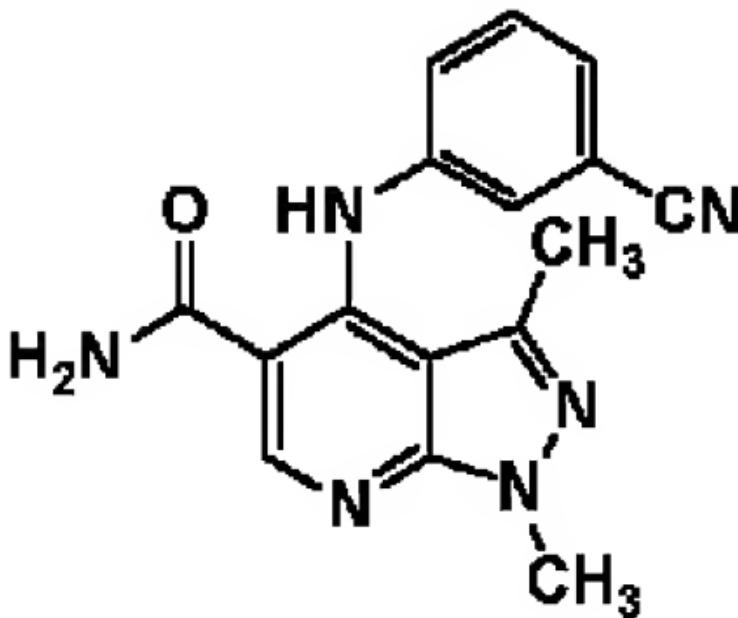
nmr (DMSO-d<sub>6</sub>);δ 10.99 (s, 1H), 8.74 (s, 1H), 8.20 (br, 1H), 7.60 (s, 1H), 7.56 (br, 1H), 7.30-7.16 (m, 3H), 6.99-6.96 (m, 1H), 6.77 (s, 2H), 3.88 (s, 3H), 1.64 (s, 3H).

[0202]

Working Example 1 (63)

1 and 3 -dimethyl -4- (3 -cyanophenyl amino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 83]



【0203】

TLC-Rf 0.38 (酢酸エチル);

NMR (DMSO- d_6) : δ 10.83 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.60(br, 1H), 7.56-7.44 (m, 3H), 7.41-7.36 (m, 1H), 3.91 (s, 3H), 1.72 (s, 3H).

【0204】

実施例 1(64)

1,3-ジメチル-4-(3-((3S)-1-t-ブトキシカルボニル)ピロリジン-3-イルオキシ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 84】

[0203]

TLC-Rf 0.38 (ethylacetate);

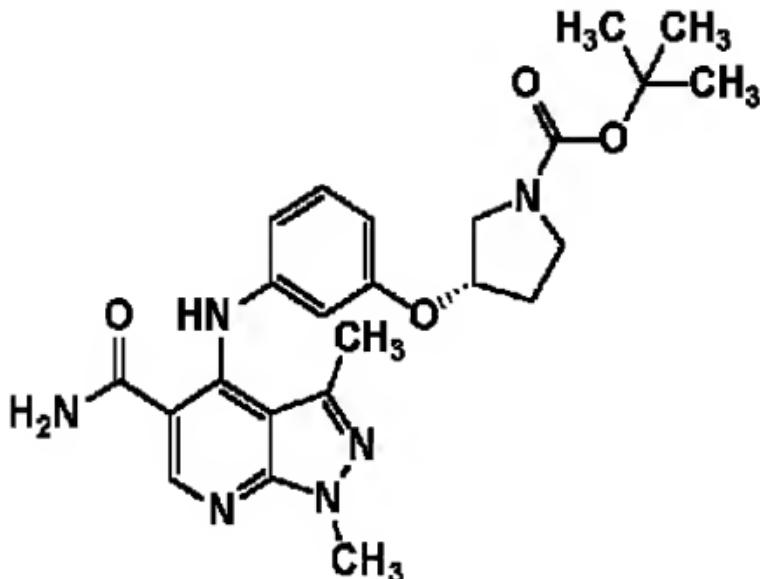
nmr (DMSO- d_6):δ 10.83 (s, 1H), 8.76 (s, 1H), 8.23 (br, 1H), 7.60 (br, 1H), 7.56-7.44 (m, 3H), 7.41-7.36 (m, 1H), 3.91 (s, 3H), 1.72 (s, 3H).

[0204]

Working Example 1 (64)

1 and 3 -dimethyl-4- (3 - (3 S) - 1 -t-butoxycarbonyl)pyrrolidine -3 -yloxy) phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

[Chemical Formula 84]



【0205】

TLC:Rf 0.35 (クロロホルム:メタノール=9:1);

NMR (CDCl_3) : δ 10.59 (s, 1H), 8.54 (s, 1H), 7.20-7.15 (m, 1H), 6.78-6.63 (m, 3H), 6.00-5.70 (brs, 2H), 4.85-4.79 (m, 1H), 4.00 (s, 3H), 3.60-3.40 (m, 4H), 2.20-2.00 (m, 2H), 1.78 (s, 3H), 1.46 (s, 9H).

【0206】

実施例 1(65)

1,3-ジメチル-4-(3-((3S)-1-アセチルピロリジン-3-イルオキシ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 85】

【0205】

TLC:Rf 0.35 (chloroform:methanol = 9:1);

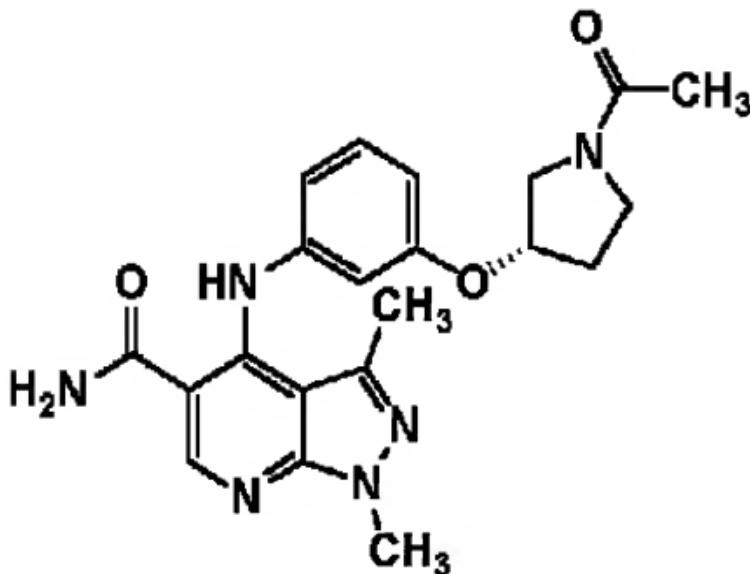
NMR (CDCl_3): δ 10.59 (s, 1H), 8.54 (s, 1H), 7.20-7.15 (m, 1H), 6.78-6.63 (m, 3H), 6.00-5.70 (brs, 2H), 4.85-4.79 (m, 1H), 4.00 (s, 3H), 3.60-3.40 (m, 4H), 2.20-2.00 (m, 2H), 1.78 (s, 3H), 1.46 (s, 9H).

【0206】

Working Example 1 (65)

1 and 3-dimethyl-4-(3-(3S)-1-acetylpyrrolidine-3-yloxy)phenylamino)pyrazolo[5,4-b]pyridine-5-carboxamide

[Chemical Formula 85]



【0207】

TLC:Rf 0.26 (クロロホルム:メタノール=9:1);
 NMR (CDCl_3) : δ 10.61, 10.58 (s, 1H), 8.5
 6, 8.55 (s, 1H), 7.23- 7.15 (m, 1H), 6.80-6.7
 0 (m, 1H), 6.65-6.61 (m, 2H), 6.00-5.80 (br,
 2H), 4.95-4.82 (m, 1H), 4.00 (s, 3H), 3.80-3.
 50 (m, 4H), 2.32-1.95 (m, 2H), 2.08, 2.04 (s,
 3H), 1.79, 1.78 (s, 3H).

【0208】

実施例 1(66)

1-ペンチル-3-メチル-4-(3-メトキシフェニルアミノ)
 ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 86】

【0207】

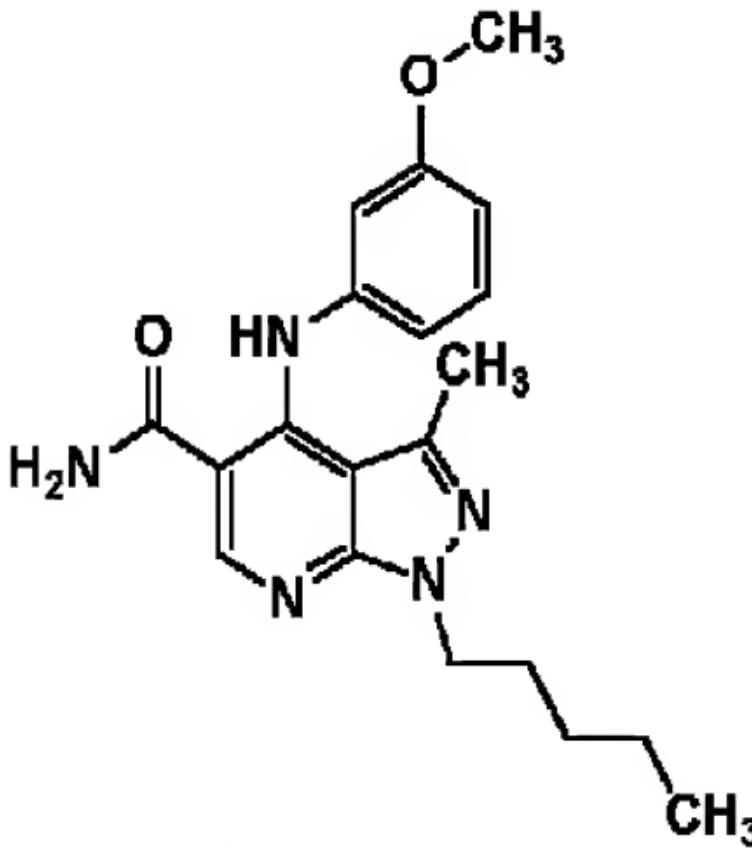
TLC:Rf 0.26 (chloroform:methanol =9:1);
 nmr (CDCl_3):δ 10.61 and 10.58 (s, 1H), 8.
 56 and 8.55 (s, 1H), 7.23 - 7.15 (m, 1H), 6.80 - 6.70 (m,
 1H), 6.65 - 6.61 (m, 2H), 6.00 - 5.80 (br, 2H), 4.95 - 4.82
 (m, 1H), 4.00 (s, 3H), 3.80 - 3.50 (m, 4H), 2.32 - 1.95 (m,
 2H), 2.08 and 2.04 (s, 3H), 1.79 and 1.78 (s, 3H).

【0208】

Working Example 1 (66)

1-pentyl-3-methyl-4-(3-methoxyphenylamino) pyrazolo [5 and 4-b] pyridine-5-carboxamide

[Chemical Formula 86]



【0209】

TLC R_f 0.46 (ヘキサン-酢酸エチル=2:3),

NMR (DMSO-d₆) : δ 10.92 (s, 1H), 8.70 (s, 1H), 8.18 (br, 1H), 7.54(br, 1H), 7.23-7.17 (m, 1H), 6.71-6.67 (m, 2H), 6.65-6.61 (m, 1H), 4.26(t, J = 7.2 Hz, 2H), 3.69 (s, 3H), 1.84-1.73 (m, 2H), 1.69 (s, 3H), 1.36-1.13 (m, 4H), 0.82 (t, J = 7.1 Hz, 3H).

【0209】

TLC R_f 0.46 (hexane : ethylacetate = 2:3);

nmr (DMSO-d₋₆): δ 10.92 (s, 1H), 8.70 (s, 1H), 8.18 (br, 1H), 7.54 (br, 1H), 7.23 - 7.17 (m, 1H), 6.71-6.67 (m, 2H), 6.65 - 6.61 (m, 1H), 4.26 (t, J = 7.2 Hz, 2H), 3.69 (s, 3H), 1.84 - 1.73 (m, 2H), 1.69 (s, 3H), 1.36 - 1.13 (m, 4H), 0.82 (t, J = 7.1 Hz, 3H).

【0210】

実施例 1(67)

1-シクロプロピルメチル-3-メチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

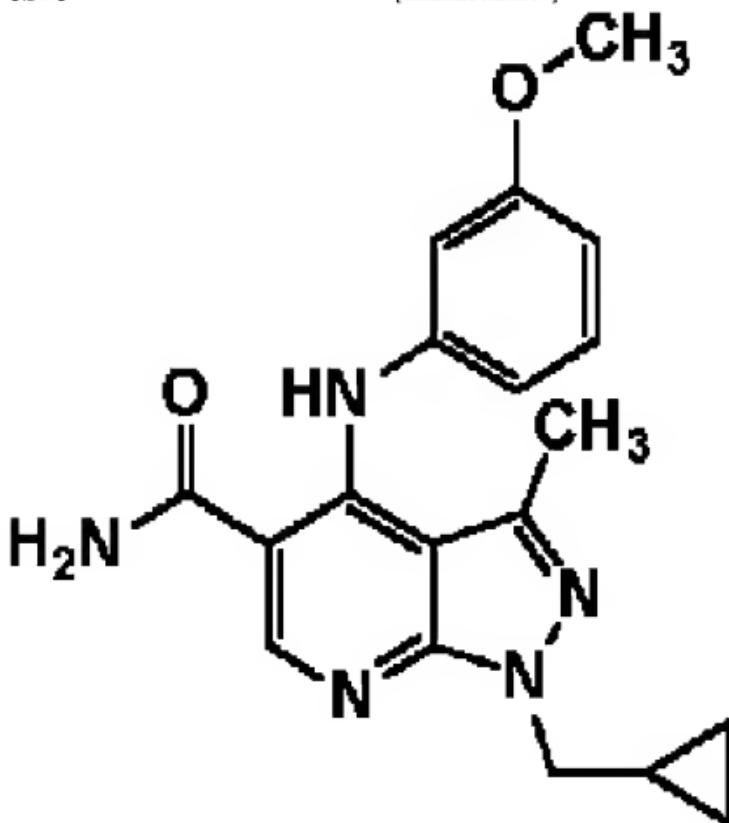
【化 87】

【0210】

Working Example 1 (67)

1 -cyclopropyl methyl -3- methyl -4- (3 -methoxyphenyl amino) pyrazolo [5 and 4 -b] pyridine -5-carboxamide

[Chemical Formula 87]



【0211】

TLC Rf 0.44 (クロロホルム:メタノール=10:1);

【0211】

TLC:Rf 0.44 (chloroform :methanol =10:1);

NMR (DMSO-d₆) δ 11.25 (bs, 1H), 8.75 (s, 1H), 8.30 (bs, 1H), 7.64 (bs, 1H), 7.25 (t, J = 7.8 Hz, 1H), 6.84-6.66 (m, 3H), 4.20 (d, J = 7.2Hz, 2H), 3.72 (s, 3H), 1.68 (s, 3H), 1.35-1.20 (m, 1H), 0.56-0.36 (m, 4H).

【0212】

実施例 1(68)

1-シクロプロピルメチル-3-エチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 88】

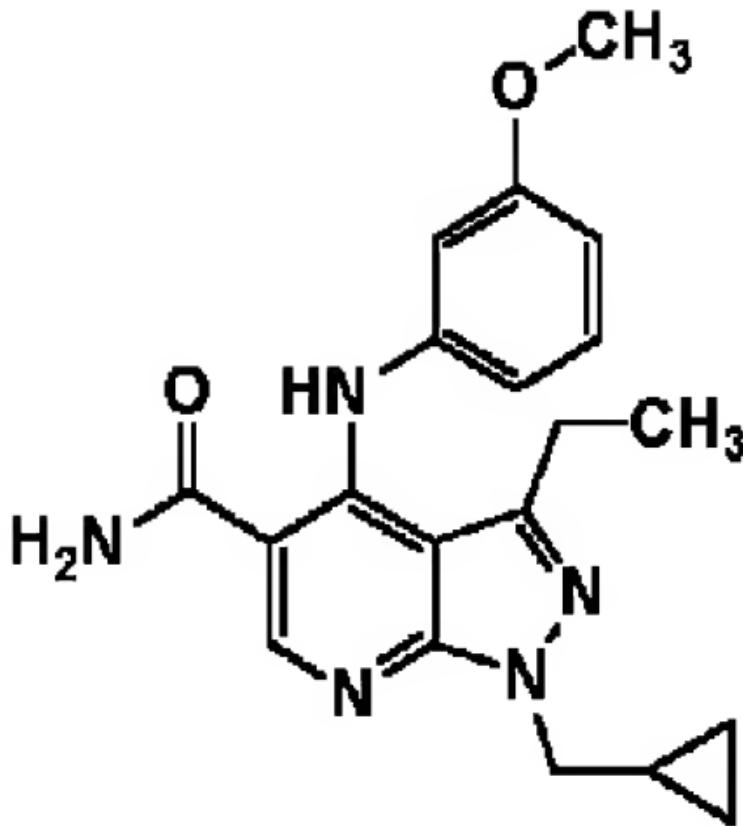
nmr (DMSO-d₆-d₂-6₂);de 11.25 (bs, 1H), 8.75 (s, 1H), 8.30 (bs, 1H), 7.64 (bs, 1H), 7.25 (t,J=7.8Hz, 1H), 6.84 - 6.66(m, 3H), 4.20 (d, J=7.2Hz, 2H), 3.72 (s, 3H), 1.68 (s, 3H), 1.35 - 1.20 (m, 1H), 0.56 - 0.36 (m, 4H).

[0212]

Working Example 1 (68)

1-cyclopropyl methyl-3-ethyl-4-(3-methoxyphenylamino) pyrazolo [5 and 4-b] pyridine-5-carboxamide

[Chemical Formula 88]



【0213】

TLC.Rf 0.45 (クロロホルム・メタノール-10:1);
 NMR (DMSO-d₆) : δ 10.87 (s, 1H), 8.72
 (s, 1H), 8.20 (bs, 1H), 7.57(bs, 1H), 7.19 (t,
 J = 8.1 Hz, 1H), 6.74-6.56 (m, 3H), 4.19 (d,
 J = 7.2Hz, 2H), 3.70 (s, 3H), 2.01 (q, J =
 7.5 Hz, 2H), 1.35-1.20 (m, 1H), 0.94(t, J =
 7.5 Hz, 3H), 0.54-0.35 (m, 4H).

【0214】

【0213】

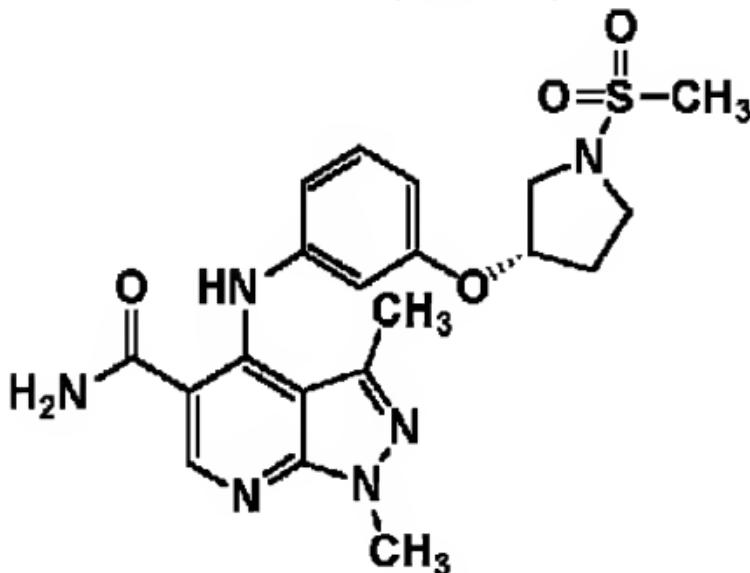
TLC.Rf 0.45 (chloroform:methanol-10:1);
 nmr (DMSO-d₆):δ 10.87 (s, 1H), 8.72 (s,
 1H), 8.20 (bs, 1H), 7.57 (bs, 1H), 7.19 (t, J=8.1Hz, 1H),
 6.74 - 6.56(m, 3H), 4.19 (d, J=7.2Hz, 2H), 3.70 (s, 3H), 2.01 (q, J=7.5Hz, 2H), 1.35 - 1.20 (m, 1H), 0.94 (t, J=7.5Hz, 3H), 0.54 - 0.35(m, 4H).

【0214】

実施例 1(69)

1,3-ジメチル-4-(3-(3S)-1-メチルピロリジン-3-イルオキシ)フェニルアミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 89】



【0215】

TLC-Rf 0.31 (クロロホルム:メタノール=9:1);

NMR ($\text{DMSO}-d_6$) : δ 10.93 (s, 1H), 8.73 (s, 1H), 8.25-8.15 (brs, 1H), 7.60-7.45 (brs, 1H), 7.21 (t, $J = 9.0$ Hz, 1H), 6.73-6.65 (m, 3H), 5.04-4.99 (m, 1H), 3.87 (s, 3H), 3.52 (d d, $J = 11.7, 4.2$ Hz, 1H), 3.40-3.25 (m, 3H), 2.85 (s, 3H), 2.22-2.00 (m, 2H), 1.68 (s, 3H).

【0216】

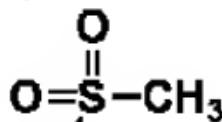
実施例 2

1,3-ジメチル-4-(N-メチル-N-(3-メトキシフェニル)アミノ)ピラゾロ[5,4-b]ピリジン-5-カルボキサミド

Working Example 1(69)

1 and 3-dimethyl-4-(3-(3 S)-1 -mesyl pyrrolidine -3- yloxy) phenylamino) pyrazolo [5 and 4-b] pyridine -5-carboxamide

[Chemical Formula 89]



【0215】

TLC-Rf 0.31 (chloroform:methanol=9:1);

NMR ($\text{DMSO}-d_6$) : δ 10.93 (s, 1H), 8.73 (s, 1H), 8.25-8.15 (brs, 1H), 7.60-7.45 (brs, 1H), 7.21 (t, $J=9$ Hz, 1H), 6.73-6.65 (m, 3H), 5.04-4.99 (m, 1H), 3.87 (s, 3H), 3.52 (dd, $J=11.7, 4.2$ Hz, 1H), 3.40-3.25 (m, 3H), 2.85 (s, 3H), 2.22-2.00 (m, 2H), 1.68 (s, 3H).

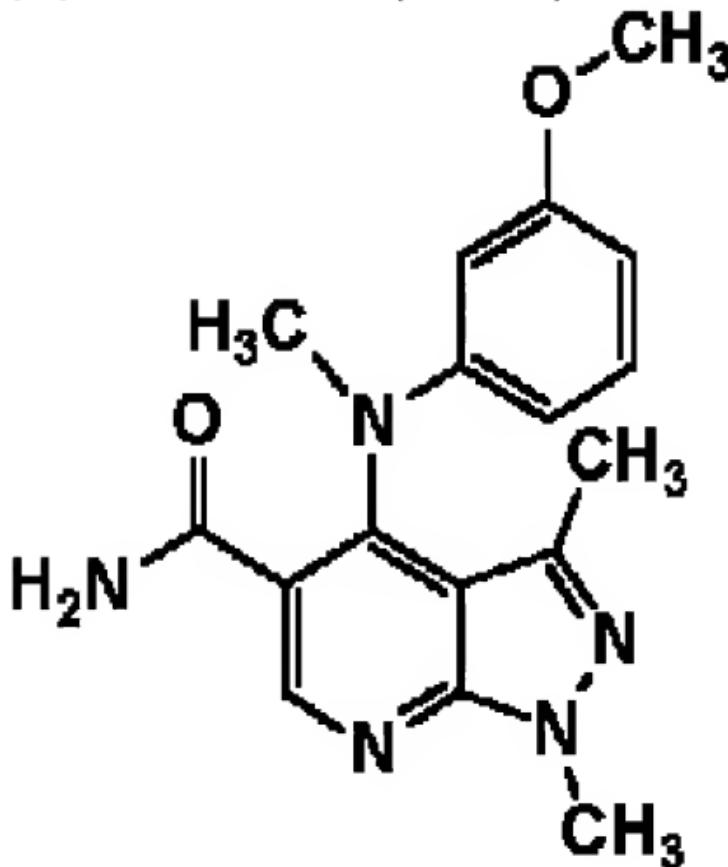
【0216】

Working Example 2

1 and 3-dimethyl-4-(N-methyl-N-(3-methoxyphenyl)amino)pyrazolo[5 and 4-b]pyridine-5-carboxamide

【化 90】

[Chemical Formula 90]



【0217】

実施例 1

で製造した化合物(100mg)の無水トルエン(10ml)
1)-無水アセトニトリル(5mL)溶液に、アルゴンガ
ス気流下 0 deg C で、酸化銀(112mg)およびヨ
ウ化メチル(568mg)を加え、室温で 15 時間攪拌

[0217]

Working Example 1

So anhydrous toluene of compound (100 mg) which is produced (10 ml) -anhydrous acetonitrile in (5 ml) solution , with 0 deg C under argon gas stream , 15 hours it agitated with the room temperature silver oxide (112 mg) and

した。

反応混合物をセライトでろ過し、ろ液を減圧下濃縮した。

残渣をシリカゲルカラムクロマトグラフィー(クロロホルム:メタノール=50:1)で精製し、下記物理値を有する本発明化合物(98mg)を得た。

TLC:Rf 0.36 (クロロホルム:メタノール=9:1);

NMR (DMSO-d₆) : δ 8.64 (s, 1H), 7.62 (br s, 1H), 7.43 (brs, 1H), 7.03 (t, J = 8.1 Hz, 1H), 6.37-6.33 (m, 1H), 6.17-6.10 (m, 2H), 3.96 (s, 3H), 3.64 (s, 3H), 3.27 (s, 3H), 2.02 (s, 3H).

【0218】

実施例 3

1,3-ジメチル-4-(3-(3S)-ピロリジン-3-イルオキシ)フェニルアミノピラゾロ[5,4-b]ピリジン-5-カルボキサミド

【化 91】

including methyl iodide (568 mg).

reaction mixture was filtered with celite , filtrate under vacuum was concentrated.

residue was refined with [shirikagerukaramukuromatogurafu] (chloroform :methanol =50:1), the compound of this invention (98 mg) which possesses the below-mentioned property value was acquired.

TLC:Rf 0.36 (chloroform :methanol =9 :1),

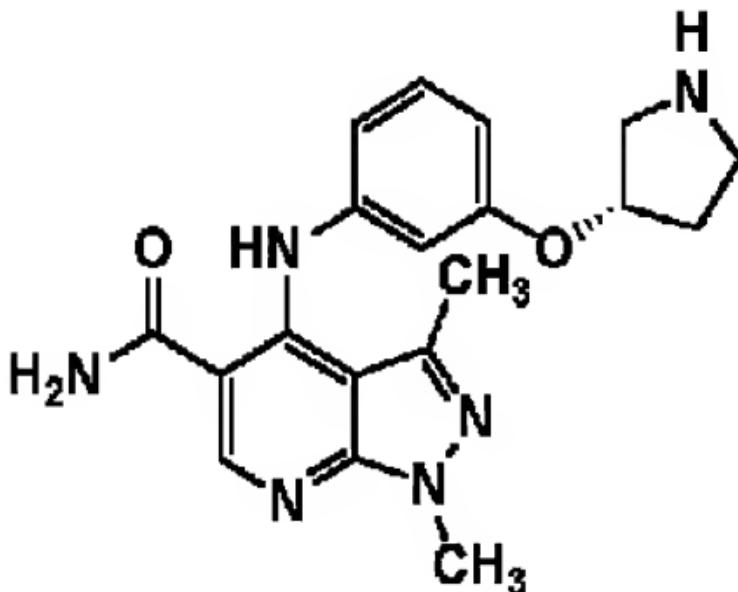
nmr (DMSO -d₋₆);δ 8.64 (s, 1H), 7.62 (brs, 1H), 7.43 (brs, 1H), 7.03 (t, J=8.1Hz, 1H), 6.37-6.33 (m, 1H), 6.17-6.10 (m, 2H), 3.96 (s, 3H), 3.64 (s, 3H), 3.27 (s, 3H), 2.02 (s, 3H).

【0218】

Working Example 3

1 and 3 -dimethyl -4- (3 - (3 S) -pyrrolidine -3 -yloxy) phenylamino) pyrazolo [5 and 4 -b] pyridine -5 -carboxamide

【Chemical Formula 91】



【0219】

実施例 1

(64)で製造した化合物(300mg)の酢酸エチル(10mL)-メタノール(10mL)溶液に10%塩化水素メタノール溶液(3mL)を加えて室温で15時間攪拌した。

反応混合物を減圧下濃縮した。

残渣を飽和炭酸ナトリウム水溶液でpH11に調整後、酢酸エチルで抽出した。

抽出液を飽和炭酸ナトリウム水溶液で洗浄し、無水硫酸マグネシウムで乾燥後、減圧下濃縮し、下記物性値を有する本発明化合物(125mg)を得た。

TLC-Rf 0.36 (クロロホルム:メタノール:酢酸=1:0.2:1);

NMR (DMSO-d₆) : δ 10.93 (s, 1H), 8.73 (s, 1H), 8.23-8.12 (brs, 1H), 7.63-7.45 (brs, 1H), 7.21-7.15 (m, 1H), 6.70-6.60 (m, 3H), 4.

[0219]

Working Example 1

ethylacetate of compound (300 mg) which is produced with (64) (10 ml) -methanol in(10 ml) solution 15 hours it agitated with room temperature including 10% hydrogen chloride methanol solution (3 ml).

reaction mixture under vacuum was concentrated.

residue with saturated sodium carbonate aqueous solution in pH 11 after adjusting, was extracted with ethylacetate .

You washed extracted liquid with saturated sodium carbonate aqueous solution , after drying and under vacuum concentrated with anhydrous magnesium sulfate , you acquired the compound of this invention (125 mg) which possesses below-mentioned property value .

TLC:Rf 0.36 (chloroform :methanol :acetic acid =10:2:1);

nmr (DMSO-d₆) :δ 10.93 (s, 1H), 8.73 (s, 1H), 8.23 - 8.12 (brs, 1H), 7.63 - 7.45 (brs, 1H), 7.21 - 7.15 (m, 1H), 6.70 - 6.60 (m, 3H), 4.80 - 4.75 (m, 1H), 3.87 (s,

80.4-75 (m, 1H), 3.87 (s, 3H), 3.31 (brs, 1H), 2.98-2.63 (m, 4H), 1.98-1.82 (m, 1H), 70.1-60 (m, 1H), 1.67 (s, 3H).

[0220]

【製剤例】製剤例 1

以下の各成分を常法により混合した後打継して、一錠中に 50mg の活性成分を含有する錠剤 100 錠を得た。

[0220]

{Formulation Example } Formulation Example 1

After mixing each component below with conventional method , pill-making doing, it acquired tablets 100pill which contains active ingredient of 50 mg in one tablet .

・1, 3-ジメチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5, 4-

<seq>5 and 4 - * 1 and 3 -di methyl- 4-(3-methoxyphenyl amino) pyrazolo

b]ビリジン-5-カルボキサミド

*****5.0g

b]pyridine - 5- carboxamide

*****5.0 g

・カルボキシメチルセルロースカルシウム(崩壊剤)

*****0.2g

* [karubokishim echiruserurosuksarakushiumu] (disintegrating agent)

*****0.2 g

・ステアリン酸マグネシウム(潤滑剤)

*****0.1g

*amount of magnesium stearate *** (lubricant)

*****0.1 g

・微結晶セルロース

*****4.7g

*microcrystalline cellulose

*****4.7 g

[0221]

[0221]

製剤例 2

Formulation Example 2

以下の各成分を常法により混合した後、溶液を常法により滅菌し、5ml ずつアンプルに充填し、常法により凍結乾燥し、1 アンプル中 20mg の活性成分を含有するアンプル 100 本を得た。

After mixing each component below with conventional method , sterilization it did the solution with conventional method , was filled in ampoule , 5 ml lyophilizing itdid with conventional method , it acquired ampoule 100 book which contains the active ingredient of 20 mg in 1 ampoule .

・1, 3-ジメチル-4-(3-メトキシフェニルアミノ)ピラゾロ[5, 4-

* 1 and 3 -di methyl- 4-

<seq>3 - [me] </seq>

トキシフェニルアミノ)ピラゾロ[5, 4-

b]ビリジン-5-カルボキサミ

<seq>5 and 4 - [tokishifeniruamino] pyrazolo

*****2.0g

b]pyridine - 5- [karubokisumi]

*****2.0 g

・マンニートル

*****20 g

*mannitol ·蒸留水 ----- *distilled water									***** 20 g -----1000m ----- ***** 1000 m	1 — 1
--	--	--	--	--	--	--	--	--	---	-------------